=> fil hcap
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FILE COVERS 1907 - 8 Mar 2007 VOL 146 ISS 11
FILE LAST UPDATED: 7 Mar 2007 (20070307/ED)

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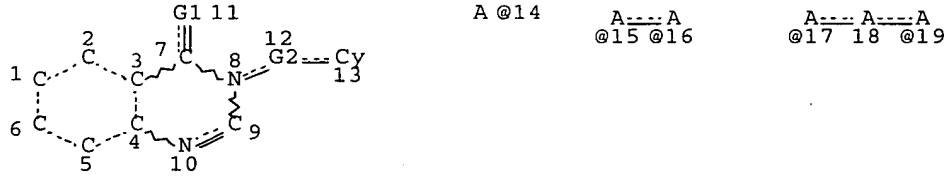
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que l28
L4 STR



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VAR G2=14/15-8 16-13/17-8 19-13
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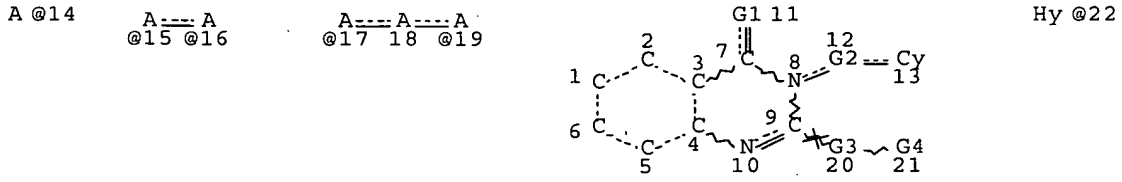
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L6 26750 SEA FILE=REGISTRY SSS FUL L4
L21 STR



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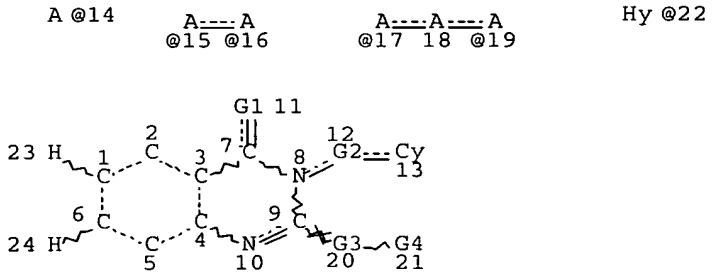
REP G3=(1-10) A
VAR G4=N/22
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M1 N AT 22

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
L23 5635 SEA FILE=REGISTRY SUB=L6 SSS FUL L21
L25 STR



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VAR G2=14/15-8 16-13/17-8 19-13
REP G3=(1-10) A
VAR G4=N/22
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M1 N AT 22

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE
L26 3682 SEA FILE=REGISTRY SUB=L23 SSS FUL L25
L27 1953 SEA FILE=REGISTRY ABB=ON PLU=ON L23 NOT L26
L28 78 SEA FILE=HCAPLUS ABB=ON PLU=ON L27

NOTE: Due to the large number of compounds, only one hit structure is being displayed per record.

=> d l28 ibib abs **fhitstr** tot

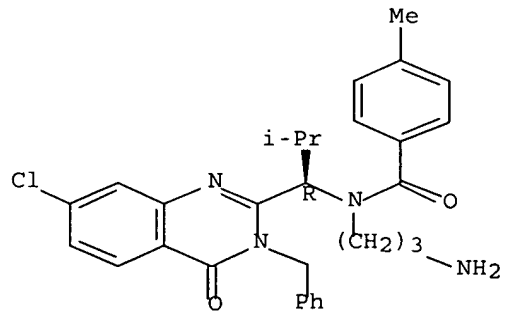
L28 ANSWER 1 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:81706 HCAPLUS Full-text
TITLE: Targeted anti-mitotic therapies: can we improve on tubulin agents?
AUTHOR(S): Jackson, Jeffrey R.; Patrick, Denis R.; Dar, Mohammed M.; Huang, Pearl S.
CORPORATE SOURCE: Oncology Center of Excellence in Drug Discovery, Departments of Biology and Discovery Medicine, GlaxoSmithKline, Collegeville, PA, USA
SOURCE: Nature Reviews Cancer (2007), 7(2), 107-117
CODEN: NRCAC4; ISSN: 1474-175X
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. The advent of molecularly targeted drug discovery has facilitated the identification of a new generation of anti-mitotic therapies that target proteins with specific functions in mitosis. The exquisite selectivity for mitosis and the distinct ways in which these new agents interfere with mitosis provides the potential to not only overcome certain limitations of current tubulin-targeted anti-mitotic drugs, but to expand the scope of clin. efficacy that those drugs have established. The development of these new anti-mitotic drugs as targeted therapies faces significant challenges; nevertheless, these potential therapies also serve as unique tools to dissect the mol. mechanisms of the mitotic-checkpoint response.

IT *336113-53-2*, Ispinesib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(targeted anti-mitotic therapies: can we improve on tubulin agents?)

RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1338305 HCAPLUS Full-text
DOCUMENT NUMBER: 146:87576
TITLE: Pharmaceutical compositions comprising antiscarring agents
INVENTOR(S): Hunter, William L.; Toleikis, Philip M.; Gravett, David M.; Maiti, Arpita; Liggins, Richard T.; Takacs-Cox, Aniko; Avelar, Rui; Signore, Pierre E.; Loss, Troy A. E.; Hutchinson, Anne; McDonald-Jones, Gaye; Lakhani, Fara
PATENT ASSIGNEE(S): Angiotech International A.-G., Switz.
SOURCE: PCT Int. Appl., 4712pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006135479	A2	20061221	WO 2006-US13030	20060331

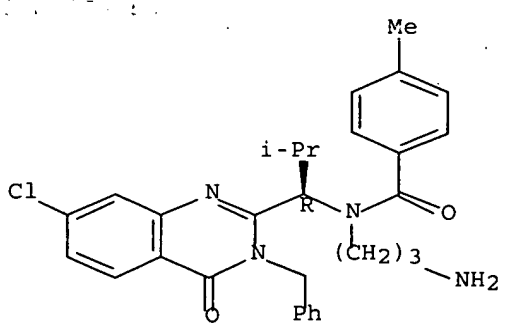
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-679293P P 20050510

AB The present invention provides devices or implants that comprise anti-scarring agents, methods or making such devices or implants, and methods of inhibiting fibrosis between the devices or implants and tissue surrounding the devices or implants. The present invention also provides compns. that comprise anti-fibrotic agents, and their uses in various medical applications including the prevention of surgical adhesions, treatment of inflammatory arthritis, treatment of scars and keloids, the treatment of vascular disease, and the prevention of cartilage loss. MPEG and MePEG2000-PDLLA are combined and heated to 75°. After the polymers are completely melted and mixed, the temperature was decreased to 55°. A juglone solution in THF is prepared and is poured into the polymer solution under constant stirring. The juglone containing micelles are dried and the resultant solid material is ground on a 2 mm mesh screen after cooling.

IT *336113-53-2*, SB 715992
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising antiscarring agents)
RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 3 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1323471 HCAPLUS Full-text

TITLE: Synthesis of novel 2,3-substituted quinazolin-4-ones by condensation of alkyl or aromatic diamines with 5-(N-arylimino)-4-chloro-5H-1,2,3-dithiazoles

AUTHOR(S): Pereira, Maria de Fatima; Thiery, Valerie; Besson, Thierry

CORPORATE SOURCE: Laboratoire de Biotechnologies et de Chimie Bio-organique, FRE CNRS 2766, UFR Sciences Fondamentales et Sciences pour l'Ingenieur, Universite de La Rochelle, La Rochelle, F-17042, Fr.

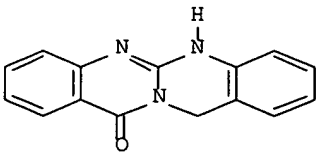
SOURCE: Tetrahedron (2006), Volume Date 2007, 63(4), 847-854
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

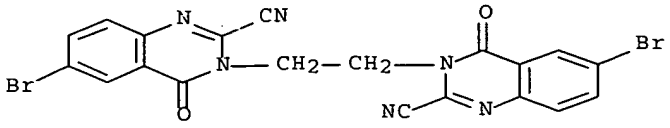
AB The work described in this paper is a further example of the utility of Appel's salt in the conception of novel heterocyclic rings. We confirmed that primary alkyldiamines may react easily with the Me N-(4-chloro-5H-1,2,3-dithiazol-5-ylidene)-anthranilates to afford quinazolines, which are very interesting starting materials for the access to novel 2,3-condensed quinazolin-4-ones. On the other side, aromatic amines allow the synthesis of polycyclic mols., e.g. I, which are structurally close to the model natural products such as rutaecarpine, luotonine, tryptanthrine and vasicinone.

IT *925444-47-9P*

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of novel 2,3-substituted quinazolin-4-ones by condensation of alkyl or aromatic diamines with 5-(N-arylimino)-4-chloro-5H-1,2,3-dithiazoles)

RN 925444-47-9 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

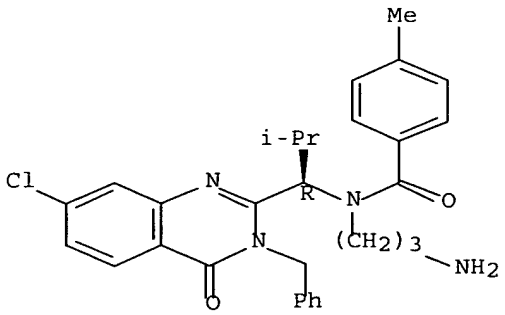
L28 ANSWER 4 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1258910 HCAPLUS Full-text
TITLE: Drugs under development for the treatment of head and neck cancer
AUTHOR(S): Mealy, N. E.; Lupone, B.
CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (2006), 31(7), 627-639
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. A number of drugs that are being developed for the treatment of head and neck cancer are described. These agents include ABI-007, ABT-510, Advexin, AP-5346, ARQ-501, AZD-2171, bevacizumab, bleomycin sulfate, bortezomib, capecitabine, carboplatin, celecoxib, cetuximab, combretastatin A-4 phosphate, erlotinib hydrochloride, fenretinide, gefitinib, gemcitabine, H-101, imatinib mesilate, irinotecan hydrochloride, IRX-2, ispinesib mesilate, lapatinib, lonafarnib, lontucirev, lovaxin C, motexafin gadolinium, Multikine, nimotuzumab, OncoVEXGM-CSF, p53-DC vaccine, paclitaxel, perifosine, sorafenib, tirapazamine, valproic acid, VB4-845, and zalutumumab.

IT *336113-53-2*, Ispinesib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ispinesib mesilate is under development for treatment of head and neck cancer in patient)

RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

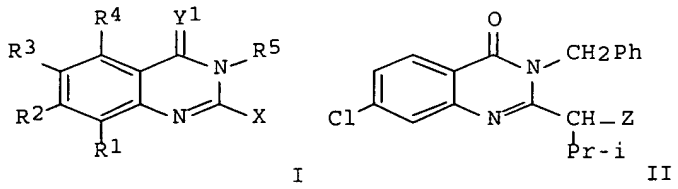
Absolute stereochemistry.



L28 ANSWER 5 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1250683 HCAPLUS Full-text
DOCUMENT NUMBER: 146:27851
TITLE: Preparation of quinazolinones as mitosis cell division modulators
INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Schiemann, Kai; Emde, Ulrich; Zenke, Frank; Amendt, Christiane
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 142pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125555	A2	20061130	WO 2006-EP4655	20060517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005024017	A1	20061130	DE 2005-102005024017	20050525
PRIORITY APPLN. INFO.:			DE 2005-102005024017A	20050525

OTHER SOURCE(S): MARPAT 146:27851
GI



I II

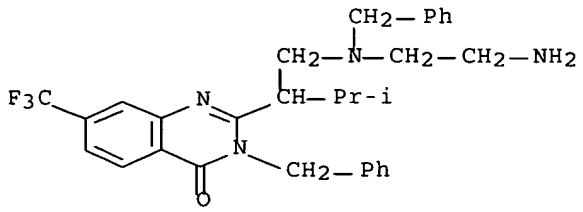
AB Title compds. I [X = Z1(N(Z3R8)Z2)kNR6R7; R1, R2, R3, R4 = H, halo, NO2, etc.; R5, R8 = H, Ar, Het, etc.; R6, R7 = H, het, Ar, etc.; Y1 = O, S, NR1; Z1, Z2 = CR9R10, etc.; Z3 = Z1 or Z2 with provisos; k = 0-2 with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, hydrolysis of nitrile II [Z = CN] afforded claimed amide III [Z = CONH2] in 57% yield. Compds. I are claimed to be useful as mitosis cell division modulators.

IT ***916167-93-6P***
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolinones as mitosis cell division modulators)

RN 916167-93-6 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[1-[[(2-aminoethyl)(phenylmethyl)amino]methyl]-2-methylpropyl]-3-(phenylmethyl)-7-(trifluoromethyl)- (CA INDEX NAME)



L28 ANSWER 6 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1202260 HCAPLUS Full-text

DOCUMENT NUMBER: 145:495820

TITLE: Electrical devices, anti-scarring agents, and therapeutic compositions

INVENTOR(S): Hunter, William L.; Toleikis, Philip M.; Gravett, David M.; Maiti, Arpita; Liggins, Richard T.; Takacs-Cox, Aniko; Avelar, Rui; Signore, Pierre E.; Loss, Troy A. E.; Hutchinson, Anne; McDonald-Jones, Gaye; Lakhani, Fara

PATENT ASSIGNEE(S): Angiotech International A.-G., Switz.

SOURCE: PCT Int. Appl., 2278pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006121518	A2	20061116	WO 2006-US11610	20060331
WO 2006121518	A3	20070111		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-679292P P 20050510
US 2005-679293P P 20050510

AB Elec. devices (e.g., cardiac rhythm management and neurostimulation devices) for contact with tissue are used in combination with an anti-scarring agent in

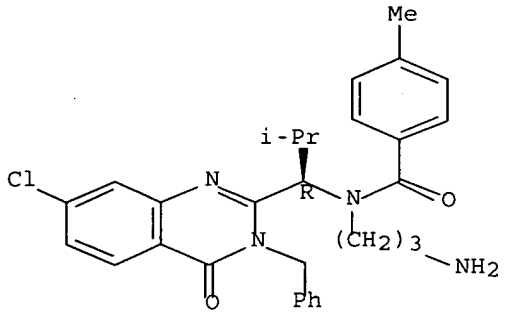
order to inhibit scarring that may otherwise occur when the devices are implanted within an animal.

IT *514820-03-2*
RL: DEV (Device component use); PAC (Pharmacological activity); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(implants incorporating anti-scarring agents)
RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

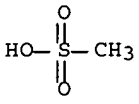
CRN 336113-53-2
CMF C30 H33 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



L28 ANSWER 7 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1167135 HCAPLUS Full-text
DOCUMENT NUMBER: 146:81826
TITLE: Synthesis of some new pyrazoloquinazolinone and quinazolinone derivatives
AUTHOR(S): El-Khamry, A. A.; Shiba, S. A.; Shalaby, A. A.; Abd Alaha, A. A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Ain Shams University, Cairo, Egypt
SOURCE: Journal of Heterocyclic Chemistry (2006), 43(5),

1189-1193
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

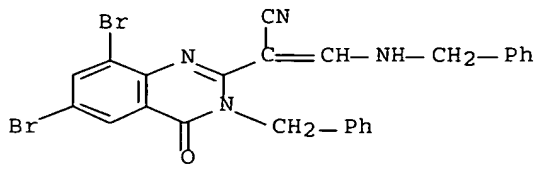
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The benzoxazinone derivative 2-(6,8-dibromo-4-oxo-4H-benzo[d]-1,3-oxazin-2-yl)- 3-(4-methoxyphenyl)acrylonitrile was used as a starting material for preparation of the pyrazoloquinazolinone and quinazolinone derivs. Under different conditions the benzoxazinone I was reacted with hydrazine hydrate to provide the pyrazolocarbonitrile derivative and the azine derivative and/or the pyrazoloquinazoline derivative II. When the pyrazoloquinazoline derivative was conducted to react either with Et acetoacetate or Ac2O/AcOH mixture or phthalic anhydride/acetic acid mixture, the pyrazoloquinazoline carbonitrile, pyrazolo-quinazoline acetate or the pyrazoloquinazolinone derivative were formed resp. When the benzoxazinone was reacted with phenylhydrazine, a mixture of the quinazolinone derivative III and the hydrazone derivative were obtained. The benzoxazinone derivative was found also to react with benzylamine in ethanol or without solvent to give the quinazolinone derivative IV or the quinazolinedione resp. Fusion of the benzoxazinone with ammonium acetate yielded the quinazolinone, which was methylated to give the N-Me quinazolinone and sulfated to the thioxyquinazoline derivative In addition, the reaction of the benzoxazinone with formamide gave the N-formylquinazoline derivative

IT *917508-87-3P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of [(benzyl)dibromo(oxo)dihydroquinazolinyl](benzylamino)acrylonitrile and benzyl(dibromo)quinazolinedione via aminolysis of [(dibromo)oxobenzoxazinyl](methoxyphenyl)acrylonitrile with benzylamine)

RN 917508-87-3 HCAPLUS

CN 2-Quinazolineacetonitrile, 6,8-dibromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-α-[[(phenylmethyl)amino]methylene]- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1030332 HCAPLUS Full-text
DOCUMENT NUMBER: 145:404147
TITLE: antiglaucoma agents containing thiadiazoline

derivatives

INVENTOR(S): Miki, Ichiro; Nakai, Ryuichiro; Murakata, Isamu; Yamashita, Nobunori; Oshima, Etsuo

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 36pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

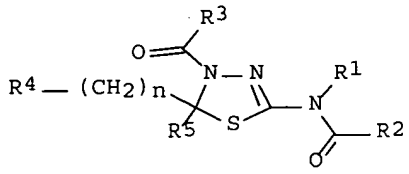
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006265107	A	20061005	JP 2005-81151	20050322

PRIORITY APPLN. INFO.: JP 2005-81151 20050322

OTHER SOURCE(S): MARPAT 145:404147

GI



I

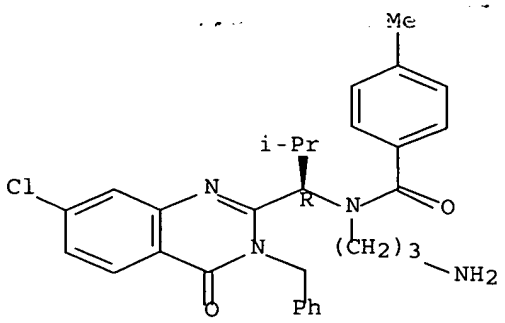
AB The invention provides antiglaucoma agents characterized by containing thiadiazoline derivative I (n = 1-3; R1 = H/R2 = lower alkyl or R1/R2 = alkylene; R3 = lower alkyl; R4 = H, substituted sulfonylamino; substituted amino; substituted carbonyl, etc.; R5 = (un)substituted aryl), or its salt. For example, (-)-N-[4-(2,2-dimethylpropionyl)-5-(2-methanesulfonylaminoethyl)-5-phenyl-4,5-dihydro-1,3,4-thiadiazol-2-yl]-2,2-dimethylpropanamide (II) was prepared, and examined for its effects on human vascular endothelium proliferation inhibition in vitro and on intraocular pressure decrease in vivo. Also, a tablet containing II 20 mg/tablet was formulated.

IT *336113-53-2*
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiglaucoma agents containing thiadiazoline derivs.)

RN 336113-53-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 9 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:736297 HCAPLUS Full-text
DOCUMENT NUMBER: 145:188899
TITLE: 2-(Aminomethyl)quinazolinones as mitotic kinesin inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Coleman, Paul J.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006078598	A2	20060727	WO 2006-US1483	20060113

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-644934P P 20050119
OTHER SOURCE(S): MARPAT 145:188899
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to fluorinated 2-(aminomethyl)quinazolinones and related compds. of general formula I, which are inhibitors of mitotic kinesins, particularly the mitotic kinesin KSP. In compds. I, R1 is H or fluoro; n is 0, 1 or 2; R2 is selected from H, (un)substituted C1-10 alkyl, (un)substituted aryl, (un)substituted C3-8 cycloalkyl, (un)substituted C2-10 alkenyl,

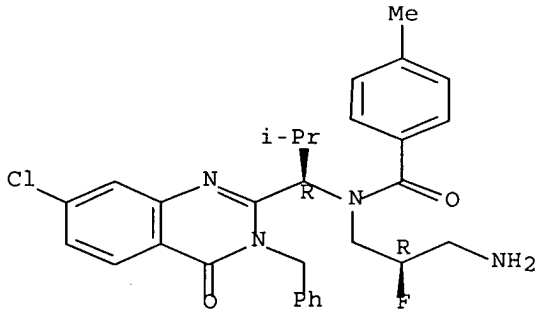
(un)substituted C2-10 alkynyl, and (un)substituted heterocyclyl; p is 0-3; each R3 is independently selected from halo, OH, carboxy, (un)substituted C1-10 alkyl, (un)substituted aryl, (un)substituted sulfamoyl, (un)substituted C1-10 alkoxycarbonyl, (un)substituted C2-11 acyl, etc.; and R4 is selected from H, halo, OH, cyano, carboxy, formyl, (un)substituted C1-10 alkyl, (un)substituted aryl, C1-10 (un)substituted alkoxycarbonyl, etc. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of cellular proliferative diseases, such as cancer or inflammation. Mono-protection of 2-fluoro-1,3-propanediol with tert-butyldiphenylsilyl chloride followed by oxidation and reductive amination with II (preparation referenced) gave III, which underwent acylation with 4-methylbenzoyl chloride, deprotection, mesylation, substitution with azide, and reduction, resulting in the formation of quinazolinone IV. The individual enantiomers of IV were isolated by chiral HPLC. The prepared compds. express IC50 values of 50 μM or less in a kinesin ATPase inhibition assay.

IT *902133-21-5P*
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chiral drug candidate; preparation of fluorinated (aminoalkyl)quinazolinones as mitotic kinesin inhibitors)

RN 902133-21-5 HCAPLUS

CN Benzamide, N-[(2R)-3-amino-2-fluoropropyl]-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 10 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:614461 HCAPLUS Full-text
DOCUMENT NUMBER: 145:158917
TITLE: New therapies for hepatocellular carcinoma
AUTHOR(S): Avila, M. A.; Berasain, C.; Sangro, B.; Prieto, J.
CORPORATE SOURCE: Division of Hepatology and Gene Therapy, Center for Applied Medical Research (CIMA), University of Navarra, Pamplona, Spain
SOURCE: Oncogene (2006), 25(27), 3866-3884
CODEN: ONCNES; ISSN: 0950-9232
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

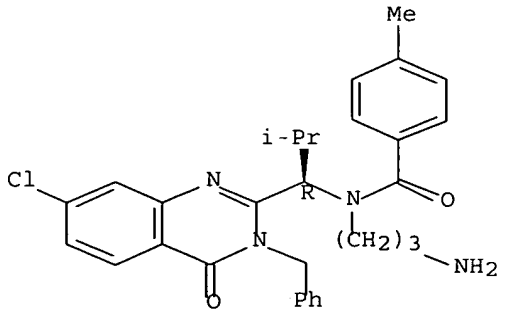
AB A review. Hepatocellular carcinoma (HCC), one of the most common cancers worldwide, is often diagnosed at an advanced stage when most potentially curative therapies such as resection, transplantation or percutaneous and transarterial interventions are of limited efficacy. The fact that HCC is resistant to conventional chemotherapy, and is rarely amenable to radiotherapy, leaves this disease with no effective therapeutic options and a very poor prognosis. Therefore, the development of more effective therapeutic tools and strategies is much needed. HCCs are phenotypically and genetically heterogeneous tumors that commonly emerge on a background of chronic liver disease. However, in spite of this heterogeneity recent insights into the biol. of HCC suggest that certain signaling pathways and mol. alterations are likely to play essential roles in HCC development by promoting cell growth and survival. The identification of such mechanisms may open new avenues for the prevention and treatment of HCC through the development of targeted therapies. In this review we will describe the new potential therapeutic targets and clin. developments that have emerged from progress in the knowledge of HCC biol., In addition, recent advances in gene therapy and combined cell and gene therapy, together with new radiotherapy techniques and immunotherapy in patients with HCC will be discussed.

IT *336113-53-2*, Ispinesib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new therapies for hepatocellular carcinoma)

RN 336113-53-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 232 THERE ARE 232 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

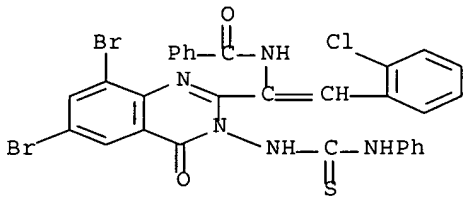
L28 ANSWER 11 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:582950 HCAPLUS Full-text
DOCUMENT NUMBER: 145:210989
TITLE: Reactivity of 3-amino-3H-quinazolin-4-one derivatives towards some electrophilic and nucleophilic reagents and using of the products in the building of some interesting heterocycles as anticancer agent
AUTHOR(S): Abdel-Rahman, Taha. M.
CORPORATE SOURCE: Faculty of Specific Education, Ain-Shams University, Cairo, Egypt
SOURCE: Journal of Heterocyclic Chemistry (2006), 43(3),

527-534
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The chemical reactivity of N-[1-(3-amino-6,8-dibromo-4-oxo-3,4-dihydroquinazolin-2-yl)-2-(2-chlorophenyl)vinyl]benzamide towards electrophilic and nucleophilic reagents is reported. Structures of the products were confirmed by elemental anal. and spectral data (IR, 1H-NMR, 13C and MS). The bioassay indicates that some of the prepared compds. have a good selective anticancer activity.

IT ***904666-03-1P***
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and anticancer activity of aminoquinazolinones and fused derivs.)

RN 904666-03-1 HCAPLUS
CN Benzamide, N-[2-(2-chlorophenyl)-1-[6,8-dibromo-3,4-dihydro-4-oxo-3-[[(phenylamino)thioxomethyl]amino]-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

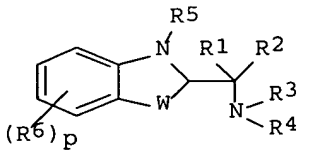
L28 ANSWER 12 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:365363 HCAPLUS Full-text
DOCUMENT NUMBER: 144:390921
TITLE: Preparation of indole and benzimidazole derivatives as kinesin spindle protein (KSP) inhibitors for the treatment of cancer
INVENTOR(S): Boyce, Rustum S.; Guo, Hongyan; Mendenhall, Kris G.; Walter, Annette O.; Wang, Weibo; Xia, Yia
PATENT ASSIGNEE(S): Singapore
SOURCE: U.S. Pat. Appl. Publ., 53 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006084687	A1	20060420	US 2005-251440	20051014
WO 2006049835	A2	20060511	WO 2005-US36803	20051014

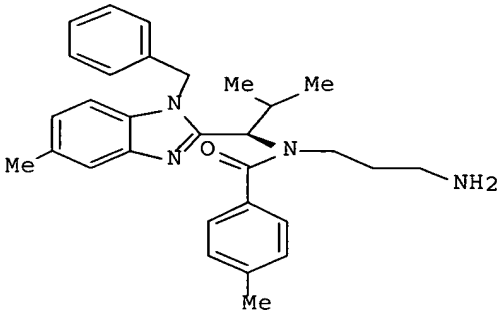
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-620385P P 20041019
OTHER SOURCE(S): MARPAT 144:390921
GI



I



II

AB Compds. of the invention with the general formula I (wherein W = :CH- or :N-; R1 = aminoacyl, acylamino, carboxy, carboxy ester, aryl, and alkyl optionally substituted with hydroxy or halo; R2 = H, optionally substituted alkyl, and aryl; R3 = -X-A, wherein A = (un)substituted alkyl, aryl, heteroaryl, heterocyclic, and cycloalkyl and X = CO, CS, SO, SO2, etc.; R4 = H, OH, acyl, (un)substituted alkyl, cycloalkyl, aryl, heteroaryl, and heterocyclic; or R1 and R4 together are part of a (un)substituted heterocyclic and heteroaryl; when R1 and R4 together are not part of a ring, then R3 and R4 together are; R5 = -L-A1 where L = -S(O)r- (r=1-2) and (un)substituted C1-C2 straight chain alkylene, A1 = (un)substituted aryl, heteroaryl, heterocyclic, and cycloalkyl; R6 = acyl, acylamino, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, amino; p = 0-3) and their pharmaceutically acceptable salts, are prepared and disclosed as compds. which modulate the activity of kinesin spindle protein (KSP) and are useful for the treatment of cancer. Thus, e.g., II was prepared by reaction of 4-methyl-2-nitrophenylamine with benzaldehyde, followed by reduction of the nitro and reaction of the resulting diamine with boc-D-valine, cyclization of the product, deprotection, reaction of the resulting propylamine with 3-(1,3-Dioxo-1,3-dihydroisoindol-2- yl)propionaldehyde and p-toluoyl chloride, and finally deprotection to yield II. Assays for determining activity are described (no data). Therapeutic use of I with addnl. agents useful for the treatment of cancer is also claimed.

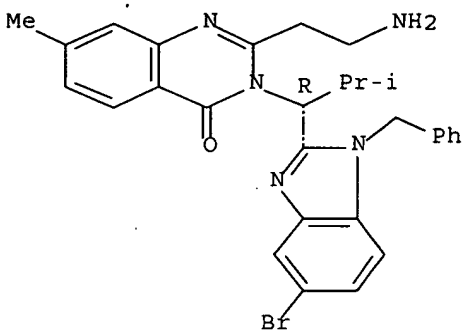
IT ***883151-53-9P***, 2-(2-Aminoethyl)-3-[(1R)-1-(1-benzyl-5-bromo-1H-benzimidazol-2-yl)-2-methylpropyl]-7-methylquinazolin-4(3H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indole and benzimidazole derivs. as kinesin spindle protein (KSP) inhibitors for treatment of cancer)

RN 883151-53-9 HCAPLUS

CN 4(3H)-Quinazolinone, 2-(2-aminoethyl)-3-[(1R)-1-[5-bromo-1-(phenylmethyl)-1H-benzimidazol-2-yl]-2-methylpropyl]-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 13 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:314569 HCAPLUS Full-text

DOCUMENT NUMBER: 145:369312

TITLE: Increased therapeutic potential of an experimental anti-mitotic inhibitor SB715992 by genistein in PC-3 human prostate cancer cell line

AUTHOR(S): Davis, David A.; Sarkar, Sarah H.; Hussain, Maha; Li, Yiwei; Sarkar, Fazlul H.

CORPORATE SOURCE: Department of Pathology, Karmanos Cancer Institute, Wayne State University School of Medicine, Detroit, MI, USA

SOURCE: BMC Cancer (2006), 6, No pp. given
CODEN: BCMACL; ISSN: 1471-2407
URL: http://www.biomedcentral.com/content/pdf/1471-2407-6-22.pdf

PUBLISHER: BioMed Central Ltd.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB Background: Kinesin spindle proteins (KSP) are motor proteins that play an essential role in mitotic spindle formation. HsEg5, a KSP, is responsible for the formation of the bipolar spindle, which is critical for proper cell division during mitosis. The function of HsEg5 provides a novel target for the manipulation of the cell cycle and the induction of apoptosis. SB715992, an exptl. KSP inhibitor, has been shown to perturb bipolar spindle formation, thus making it an excellent candidate for anti-cancer agent. Our major objective was (a) to investigate the cell growth inhibitory effects of SB715992 on PC-3 human prostate cancer cell line, (b) to investigate whether the growth inhibitory effects of SB715992 could be enhanced when combined with genistein, a naturally occurring isoflavone and, (c) to determine gene expression profile to establish mol. mechanism of action of SB715992. Methods: PC-3 cells were treated with varying concentration of SB715992, 30 μM of genistein, and SB715992 plus 30 μM of genistein. After treatments, PC-3 cells were assayed for cell proliferation, induction of apoptosis, and alteration in gene and protein expression using cell inhibition assay, apoptosis assay, microarray anal., real-time RT-PCR, and Western Blot anal.

Results: SB715992 inhibited cell proliferation and induced apoptosis in PC-3 cells. SB715992 was found to regulate the expression of genes related to the control of cell proliferation, cell cycle, cell signaling pathways, and apoptosis. In addition, our results showed that combination treatment with SB715992 and genistein caused significantly greater cell growth inhibition and induction of apoptosis compared to the effects of either agent alone. Conclusion: Our results clearly show that SB715992 is a potent anti-tumor agent whose therapeutic effects could be enhanced by genistein. Hence, we believe that SB715992 could be a novel agent for the treatment of prostate cancer with greater success when combined with a nontoxic natural agent like genistein.

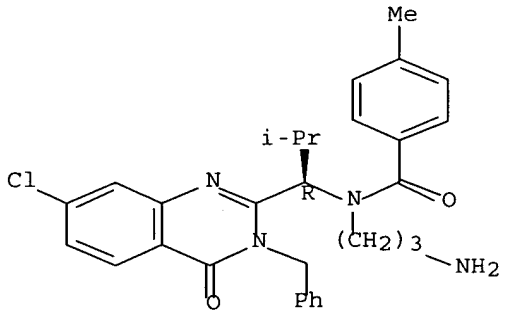
IT *514820-03-2*, SB 715992S
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KSP inhibitor SB715992 alone inhibited proliferation, induced apoptosis and regulated genes related to cell cycle and signaling, but its combination with genistein notably enhanced anti-mitotic activity in human PC-3 cell)

RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

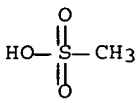
CRN 336113-53-2
CMF C30 H33 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:212840 HCAPLUS Full-text
DOCUMENT NUMBER: 144:267313
TITLE: Novel compositions and methods of treatment of cellular proliferative diseases using quinazolinone derivs.
INVENTOR(S): Auger, Kurt R.; Jackson, Jeffrey R.; Sutton, David
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006026597	A2	20060309	WO 2005-US30788	20050830
WO 2006026597	A3	20061207		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:	US 2004-605549P	P	20040830
	US 2005-694531P	P	20050628

OTHER SOURCE(S): MARPAT 144:267313

AB Disclosed inter alia is the use of quinazolinone derivs., which are modulators of a mitotic kinesin such as KSP, in the treatment of cellular proliferative diseases. The quinazolinone derivs. are administered with another chemotherapeutic agent selected from alkylating agents, anti metabolites, platinating agents, topoisomerase inhibitors, tubulin agents and signaling inhibitors (e.g., kinase inhibitors). Pharmaceutical compns. comprising one or both types of active agents are also disclosed.

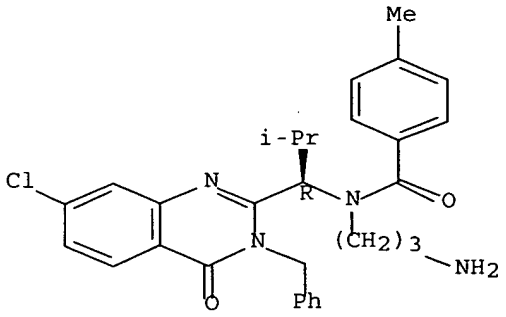
IT *514820-03-2*
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel compns. and methods of treatment of cellular proliferative diseases using quinazolinone derivs.)

RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

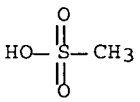
CRN 336113-53-2
CMF C30 H33 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

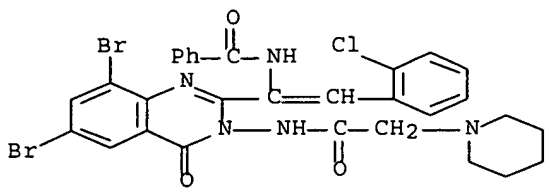


L28 ANSWER 15 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:119218 HCAPLUS Full-text
DOCUMENT NUMBER: 145:397451
TITLE: Reactivity of 3-amino-3H-quinazolin-4-one derivatives towards some electrophilic and nucleophilic reagents and using of the products in the building of some interesting heterocycles as anticancer agent
AUTHOR(S): Abdel-Rahman, Taha. M.
CORPORATE SOURCE: Faculty of Specific Education, Ain-Shams University, Cairo, Egypt
SOURCE: Bollettino Chimico Farmaceutico (2005), 144(3), 124-138
CODEN: BCFAAI; ISSN: 0006-6648
PUBLISHER: Societa Editoriale Farmaceutica
DOCUMENT TYPE: Journal; (computer optical disk)
LANGUAGE: English

AB The chemical reactivity of N-[1-(3-amino-6,8-dibromo-4-oxo-3,4-dihydroquinazolinyl)-2-(2-chlorophenyl)vinyl]benzamide towards electrophilic and nucleophilic reagents have been reported. Structures of the products have been confirmed by elemental anal. and spectral data (IR, 1H-NMR, 13C and MS). The bioassay indicates that some of the prepared compds. have a good selective anticancer activity.

IT ***904665-88-9P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-[(amino)dibromodihydro(oxo)quinazolinyl)(chlorophenyl)ethenyl]benzamide and study of its reaction with electrophilic and nucleophilic reagents)

RN 904665-88-9 HCAPLUS RN 904665-88-9
CN 1-Piperidineacetamide, N-[2-[1-(benzoylamino)-2-(2-chlorophenyl)ethenyl]-6,8-dibromo-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)

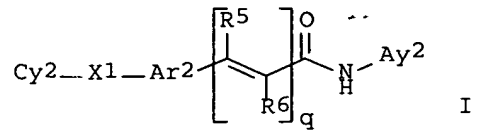


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

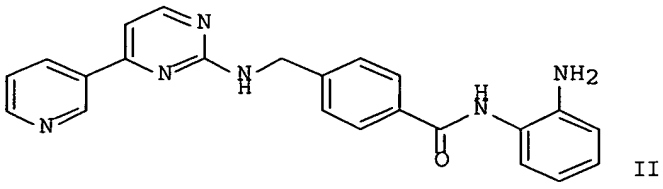
L28 ANSWER 16 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1346218 HCAPLUS Full-text
DOCUMENT NUMBER: 144:88321
TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 324 pp., Cont.-in-part of U.S. Ser. No. 358,556.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005288282	A1	20051229	US 2005-91025		20050325
US 2004106599	A1	20040603	US 2002-242304		20020912
US 2004142953	A1	20040722	US 2003-358556		20030204
US 6897220	B2	20050524			
JP 2005255683	A	20050922	JP 2005-80310		20050318
AU 2006252047	A1	20070111	AU 2006-252047		20061214
PRIORITY APPLN. INFO.:			US 2001-322402P	P	20010914
			US 2002-391728P	P	20020626
			US 2002-242304	A2	20020912
			US 2003-358556	A2	20030204
			AU 2002-327627	A3	20020912
			JP 2003-528544	A3	20020912

OTHER SOURCE(S): MARPAT 144:88321
GI



I



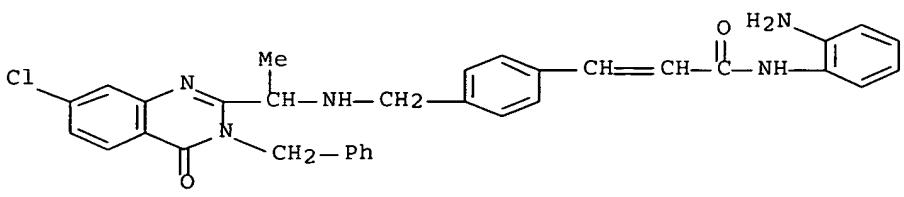
II

AB The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. Such compds. include carboxamides I [Cy2 = (un)substituted cycloalkyl, aryl, heteroaryl, heterocyclyl (each of which is optionally fused to one or two aryl or heteroaryl rings, or to one or two (un)saturated cycloalkyl or heterocyclic rings); X1 = a bond, M1L2M1, L2M2L2 (wherein L2 = a bond, alkylene, alkenylene, alkynylene; M1 = O, S, SO, NHCO, etc.; M2 = M1, heteroarylene, heterocyclylene); Ar2 = (un)substituted (hetero)arylene; R5, R6 = H, alkyl, aryl, aralkyl; q = 0-1; Ay2 = (un)substituted 5-6 membered cycloalkkyl, heterocyclyl or heteroaryl substituted with an amino or hydroxy moiety; with provisos] which were prepared and claimed. E.g., a multi-step synthesis of II, starting from Me 4-(aminomethyl)benzoate.HCl, was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. Although the methods of preparation are not claimed, hundreds of example prepns. are included.

IT *503041-91-6P*
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503041-91-6 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 17 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1335155 HCAPLUS Full-text
DOCUMENT NUMBER: 144:74923
TITLE: Compositions, devices and methods for treating cardiovascular disease using KSP inhibitors
INVENTOR(S): Malik, Fady; Bergnes, Gustave
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005282834	A1	20051222	US 2005-147406	20050607
WO 2005123083	A1	20051229	WO 2005-US19791	20050607

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

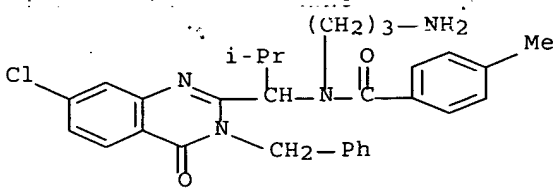
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-578755P P 20040609
OTHER SOURCE(S): MARPAT 144:74923

AB In situ drug-delivering medical devices, materials and associated compds., pharmaceutical compns. and methods are disclosed for the treatment of diseases of proliferating cells, particularly atherosclerosis and restenosis. The medical device or material comprising an effective amount of at least one inhibitor of kinesin spindle protein (KSP), especially human KSP (HsEg5). For example, a Paralene C/active agent solution was made by dissolving 1.75 mg/mL poly(ethylene-co-vinyl acetate), 1.75 mg/mL polybutyl methacrylate, and 1.5 mg/mL N-(3-aminopropyl)-N-[1-(3-benzyl-7- chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-3-fluoro-4- methylbenzamide in 50 mL MTBE, with stirring at room temperature The stent was coated with the Paralene C/active agent solution using a vapor deposition method provided. The dried stent was weighed, the amount of Paralene C/active agent coating was determined as the difference between pre- and post-coating wts., and the dosage of active agent was calculated The active agent-coated stent demonstrated continuous delivery of active agent into the release medium over the test period.

IT *336115-13-0*
RL: DEV (Device component use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and devices for sustained delivery of KSP inhibitors for treating cardiovascular disease)

RN 336115-13-0 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



L28 ANSWER 18 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1240775 HCAPLUS Full-text
DOCUMENT NUMBER: 144:17202
TITLE: Novel 2-amino-4-quinazolinones and 2-amino-4-oxoquinazolones as LXR (liver X receptor) nuclear receptor binding compounds with partial agonistic properties
INVENTOR(S): Deuschle, Ulrich; Loebbert, Ralph; Blume, Beatrix; Koegl, Manfred; Kremoser, Claus; Kober, Ingo; Bauer, Ulrike; Hermann, Kristina; Albers, Michael
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261319	A1	20051124	US 2005-76163	20050309
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2498655	A1	20040325	CA 2003-2498655	20030702
WO 2004024162	A1	20040325	WO 2003-EP7067	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296861	A1	20040430	AU 2003-296861	20030702
JP 2006502169	T	20060119	JP 2004-535046	20030702
WO 2004024161	A1	20040325	WO 2003-EP10036	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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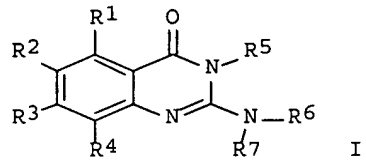
TC, TD, TG
AU 2003271595 A1 20040430 AU 2003-271595 20030910
EP 1536799 A1 20050608 EP 2003-753402 20030910
EP 1536799 B1 20060510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.: EP 2002-20255 A 20020910
WO 2003-EP7067 A2 20030702
WO 2003-EP10036 A2 20030910
OTHER SOURCE(S): MARPAT 144:17202
GI



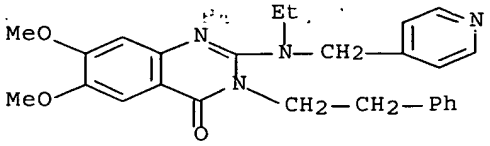
I

AB The present invention relates to compds. according to the general formula (I) wherein R1, R2, R3 and/or R4, are independently from each other selected from H, halogen, hydroxy, protected hydroxy, cyano, nitro, C1 to C6 alkyl, C1 to C6 substituted alkyl, C1 to C7 alkoxy, C1 to C7 substituted alkoxy, C1 to C7 acyl, C1 to C7 substituted acyl, C1 to C7 acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, (monosubstituted) amino, protected (monosubstituted) amino, (disubstituted) amino, carboxamide, protected carboxamide, N-(C1 to C6 alkyl)carboxamide, protected N-(C1 to C6 alkyl)carboxamide, N,N-di(C1 to C6 alkyl)carboxamide, trifluoromethyl, N-[(C1 to C6 alkyl)sulfonyl]amino, N-(phenylsulfonyl)amino or substituted or unsubstituted phenyl; R5 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R6 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R7 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, and R6 and R7 may be taken together with nitrogen to form a heterocycle or substituted heterocycle or a heteroaryl or substituted heteroaryl ring. I bind to the LXR receptors and act as agonists and antagonists of the LXR receptors. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptor by said compds. and the production of medicaments using said compds.

IT *869852-71-1*
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel 2-aminoquinazolinones and 2-aminooxoquinazolones as LXR nuclear receptor binding compds. with partial agonistic properties for treatment of diseases)

RN 869852-71-1 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[ethyl(4-pyridinylmethyl)amino]-6,7-dimethoxy-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 19 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1058193 HCAPLUS Full-text
DOCUMENT NUMBER: 143:454697
TITLE: Development of a high-throughput robotic fluorescence-based assay for HsEg5 inhibitor screening
AUTHOR(S): Zhang, Bin; Senator, David; Wilson, Christopher J.; Ng, Shi-Chung
CORPORATE SOURCE: Department of Chemical Genomics, ArQule Inc., Woburn, MA, 01801, USA
SOURCE: Analytical Biochemistry (2005), 345(2), 326-335
CODEN: ANBCA2; ISSN: 0003-2697
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

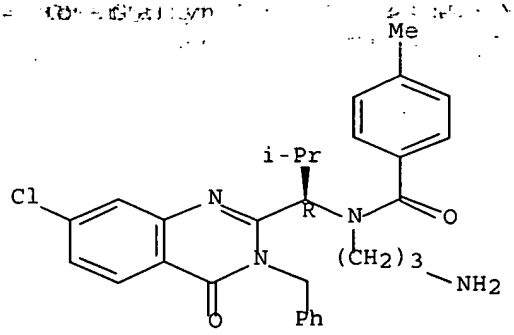
AB HsEg5 has microtubule-activated ATPase activity and plays essential roles in bipolar spindle formation. Because HsEg5 is validated as an attractive cancer target, in vitro biochem. assays have been developed for identifying compds. with high inhibitory activity. Several compds., including quinazoline ring-containing compds., have been identified and are currently in clin. trials. Although considerable progress has been made during recent years, limitations of HsEg5 in vitro screening assays still reside in two main aspects. First, colorimetric-based assays exhibit relatively low sensitivity and limited dynamic range that are unable to accurately measure compds. with nanomolar potencies. Second, current fluorescence assays are relatively low throughput without "mix and read" homogeneous features. In this study, the authors describe a sensitive fluorescence-based assay for HsEg5-specific inhibitors. By coupling several enzymes' activities, the release of ADP was measured quant. through red fluorescent resorufin. The Km for ATP hydrolysis in this assay was calculated as 23 μM. The known HsEg5 inhibitors CK0106023 and CK0238273 gave IC50 values of 9.8 and 30.6 nM, resp. The authors' fluorescence assay has a 20-fold increase in sensitivity with broader dynamic range when compared with a colorimetric assay. The authors further automated this assay for high-throughput screening with a Z' factor of 0.8.

IT *514820-03-2*, CK-0238273
RL: ANT (Analyte); ANST (Analytical study)
(inhibitor; development of high-throughput robotic fluorescence-based assay for HsEg5 kinesin ATPase inhibitor screening)
RN 514820-03-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

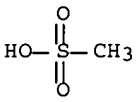
CRN 336113-53-2
CMF C30 H33 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 20 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1049750 HCAPLUS Full-text
DOCUMENT NUMBER: 143:332577
TITLE: Pharmaceutical compositions comprising anti-inflammatory quinazolinecarboxamides
INVENTOR(S): Gregor, Paul; Harris, Nicholas; Koppel, Juraj; Zhuk, Regina
PATENT ASSIGNEE(S): Rimonyx Pharmaceuticals Ltd., Israel
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089068	A2	20050929	WO 2005-IL336	20050324
WO 2005089068	A3	20060727		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1740176 A2 20070110 EP 2005-718909 20050324

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

PRIORITY APPLN. INFO.: US 2004-555667P P 20040324
WO 2005-IL336 W 20050324

OTHER SOURCE(S): MARPAT 143:332577

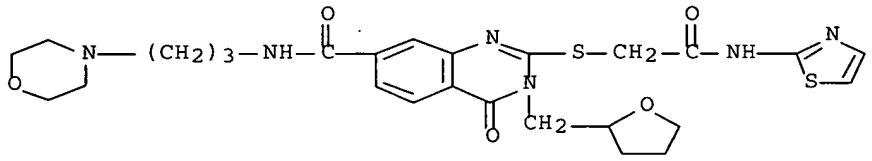
AB Pharmaceutical compns. comprising quinazolinecarboxamides are capable of inhibiting heparan sulfate-glycosaminoglycan (HS-GAGs) interactions with L-selectin, and useful in the prevention or treatment of various diseases, disorders and conditions mediated by HS-GAGs, particularly inflammatory and autoimmune diseases, viral diseases, cancer, and amyloid disorders. Thus, capsules contained a quinazolinecarboxamide 30.0, starch 305.0, and Mg stearate 5.0 mg/capsule.

IT *422291-49-4*

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising anti-inflammatory quinazolinecarboxamides)

RN 422291-49-4 HCAPLUS

CN 7-Quinazolinecarboxamide, 3,4-dihydro-N-[3-(4-morpholinyl)propyl]-4-oxo-2-[[2-oxo-2-(2-thiazolylamino)ethyl]thio]-3-[(tetrahydro-2-furanyl)methyl]-(9CI) (CA INDEX NAME)



L28 ANSWER 21 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1046992 HCAPLUS Full-text

DOCUMENT NUMBER: 143:477935

TITLE: Solid-phase synthesis of 2-cyanoquinazolin-4(3H)-one and 2,3-dihydrooxazolo[2,3-b]quinazolin-5-one derivatives utilizing resin-bound anthranilic acid derivatives

AUTHOR(S): Jeon, Moon-Kook; Kim, Dong-Su; La, Hyun Ju; Ha, Deok-Chan; Gong, Young-Dae

CORPORATE SOURCE: Korea Research Institute of Chemical Technology, Medicinal Science Division, Yuseong-gu, Daejeon, 305-600, S. Korea

SOURCE: Tetrahedron Letters (2005), 46(44), 7477-7481
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:477935

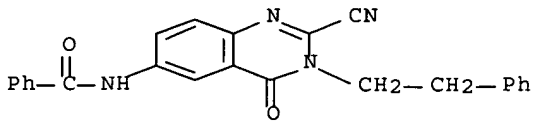
AB The preparation of 2-(cyano)quinazolin-4(3H)-one derivs. in 35-60% four-step overall isolated yields and 2,3-dihydro-oxazolo[2,3-b]quinazolin-5-one derivs. in 20-71% four-step overall isolated yields was reported. For this synthesis, polymer-bound anthranilic acid derivs. and 6-amino-2-cyanoquinazolin-4(3H)-one

derivs. were used. The formation of resin-bound (chloro)dithiazole derivs. was a key synthetic step. The reactions on solid phase were monitored by single bead ATR-FTIR spectroscopic method.

IT *869708-30-5DP*, Wang resin-supported
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (cyano)quinazolinone derivs. using resin-bound (benzoylamino)anthranilic acid as reactant and formation of resin-bound (chloro)dithiazole derivative as key synthetic step)

RN 869708-30-5 HCAPLUS

CN Benzamide, N-[2-cyano-3,4-dihydro-4-oxo-3-(2-phenylethyl)-6-quinazolinyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 22 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:611678 HCAPLUS Full-text
DOCUMENT NUMBER: 143:103378
TITLE: Implantable medical devices coated with kinesin spindle protein and biocompatible polymer to treat or inhibit restenosis
INVENTOR(S): Hezi-Yamit, Ayala; Singh, Sabeena; Trudel, Julie
PATENT ASSIGNEE(S): Medtronic Vascular, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Provisional Ser. No. 532,358.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005152940	A1	20050714	US 2004-996031		20041123
PRIORITY APPLN. INFO.:			US 2003-532358P	P	20031223

AB Implantable medical devices having coatings of certain antiproliferative agents, particularly a certain kinesin spindle protein (KSP) inhibitor, are disclosed. The anti-restenotic KSP inhibitor is CK-0238273, and pharmaceutically acceptable derivs. thereof. The anti-restenotic medical devices include stents, catheters, micro-particles, probes and vascular grafts. Intravascular stents are preferred medical devices. Moreover, medical devices composed entirely of biocompatible polymer-KSP inhibitor blends are disclosed. For example, a stent was coated with a mixture of 250 mg of CK-0238273 solution and 250 mg of polycaprolactone to achieve a final coating (drug plus polymer) weight of between about 10 μg and 1.0 mg. The ability of kinesin spindle protein inhibitor to reduce neointimal hyperplasia in response to intravascular stent placement in an acutely injured porcine coronary artery was demonstrated.

IT *514820-03-2*, CK 0238273

RL: DEV (Device component use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CK 0238273; implantable medical devices coated with kinesin spindle protein inhibitor and biocompatible polymer to treat or inhibit restenosis)

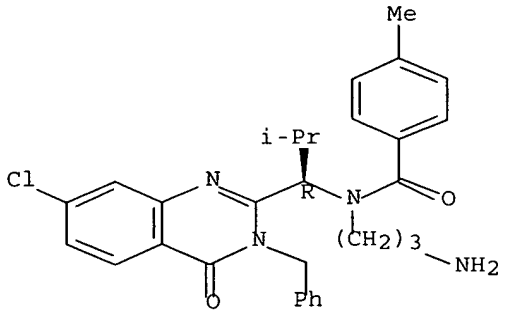
RN 514820-03-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

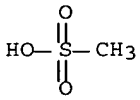
CRN 336113-53-2
CMF C30 H33 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



L28 ANSWER 23 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:589184 HCAPLUS Full-text
DOCUMENT NUMBER: 143:127882
TITLE: Genes correlated with sensitivity of human cancer cells to thiadiazoline or cysteine derivative mitotic kinesin Eg5 inhibitors identified by expression profiling
INVENTOR(S): Shinohara, Fumikazu; Obayashi, Masaya; Yoshida, Tetsuo; Tsujita, Tetsuya; Nakai, Ryuichiro; Yamashita, Yoshinori
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 118 pp.

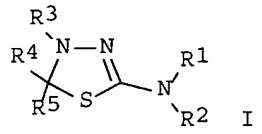
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061707	A1	20050707	WO 2004-JP19783	20041224

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-428289 A 20031224
OTHER SOURCE(S): MARPAT 143:127882
GI



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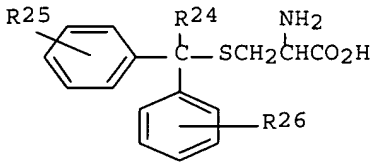


II

AB A method for identifying genes correlated with the sensitivity to of the cancer cells Eg5 inhibitors, use of the genes identified or proteins encoded by the genes for increasing the sensitivity of the cancer cells to the Eg5 inhibitor, or screening compds. having such effects, are disclosed. The method comprises measuring the sensitivities to an Eg5 inhibitor of two or more human cancer cell lines and the expression levels of one or more human genes and identifying genes showing a correlation between its expression level and the sensitivity to the Eg5 inhibitor as genes correlated with the sensitivity to the Eg5 inhibitor. Thiadiazoline derivs. are represented by the general formula (I) and pharmacol. acceptable salts thereof [R1,R4 = H, each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl, or heterocyclyl; R2 = R1, R4, C(:W)R6, (un)substituted NH2; W = O, S; R6 = R1, R4, (un)substituted NH2, etc.; or -NR1R4; -OR1; -SR1; -NR11R12 (R11 and R12 same or -C(=O)R13 (where R13 = R1, -NR7R8, -OR9A, or -SR10A), or -SO2R1;

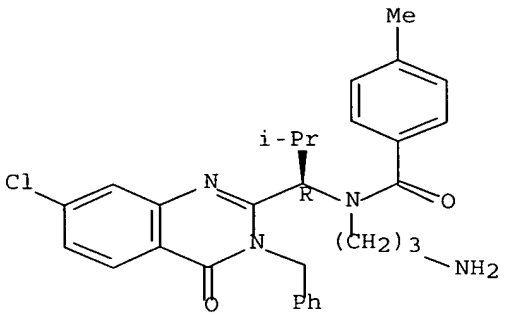
R5 = each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl, or heterocyclyl; or R4 and R5 are joined together to form (CR15AR15B)m1-Q-(CR15cR15D)m2; Q = single bond, each (un)substituted phenylene or cycloalkylene; m1, m2 = 0-4; R15A, R15B, R15c, R15D = H, halo, (un)substituted lower alkyl, -OR16, -CONR7BR8B, -SO2NR7BR8B, -COR17, -NR18R19, -COR20, -SO2R21, -CO2R22, all groups same as R5); R3 = H, C(=W)R6]. Eg5 inhibitors may also be cysteine derivs. II (R24 = (un)substituted aryl, aromatic heterocyclyl; R25, R26 = O, halo, lower alkyl,lower alkoxy, OH, CO2H, CH2OH, or together O, S, or a bond). These compds. inhibit mitotic kinesin Eg5 in G2/M phase of the cell cycle and are useful as antitumor agents for treating malignant tumors.

IT *336113-53-2*
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cysteine derivs.; genes correlated with sensitivity of human cancer cells to thiadiazoline or cysteine derivative mitotic kinesin Eg5 inhibitors identified by expression profiling)

RN 336113-53-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 24 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:490357 HCAPLUS Full-text
DOCUMENT NUMBER: 143:43896
TITLE: Preparation of quinazolinone compounds as anticancer agents
INVENTOR(S): Wang, Weibo; Lagniton, Liana M.; Constantine, Ryan N.; Desai, Manoj C.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051922	A1	20050609	WO 2004-US39448	20041124

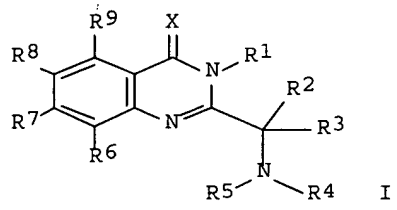
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004293464	A1	20050609	AU 2004-293464		20041124
CA 2546932	A1	20050609	CA 2004-2546932		20041124
US 2005209254	A1	20050922	US 2004-996814		20041124
EP 1689724	A1	20060816	EP 2004-812051		20041124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS					
CN 1886384	A	20061227	CN 2004-80034810		20041124
PRIORITY APPLN. INFO.:			US 2003-525059P	P	20031125
			WO 2004-US39448	W	20041124

OTHER SOURCE(S): MARPAT 143:43896

GI



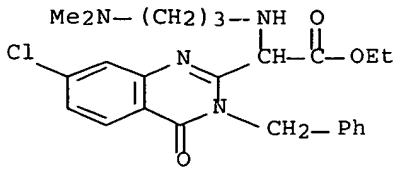
I

AB Title compds. I [X = O, S; R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R3 = CO2R10, COR10, CONR11R12, etc.; R10, R11, R12 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R4 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R5 = H, (un)substituted alkyl, (un)substituted alkoxy, etc.; R6, R7, R8, R9 = H, halo, hydroxy, etc.] and their pharmaceutically acceptable salts were prepared For example, 4-methylbenzoylation of compound I [X = O; R1 = benzyl; R2 = H; R3 = CONMe2; R4 = 3-(tert-butoxycarbonylamino)propyl; R5 = H; R7 = Cl; R6 = R8 = R9 = H], e.g., prepared from 2-amino-4-chlorobenzoic acid in 4 steps, followed by treatment with trifluoroacetic acid afforded compound I [X = O; R1 = benzyl; R2 = H; R3 = CONMe2; R4 = 3-aminopropyl; R5 = 4-methylbenzoyl; R7 = Cl; R6 = R8 = R9 = H]. Compds. I are claimed useful as KSP (kinesin spindle protein) inhibitors for the treatment of cancer.

IT *853302-68-8P*
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone compds. as KSP inhibitors for treatment of cancer)

RN 853302-68-8 HCAPLUS

CN 2-Quinazolineacetic acid, 7-chloro-α-[[3-(dimethylamino)propyl]amino]-3,4-dihydro-4-oxo-3-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

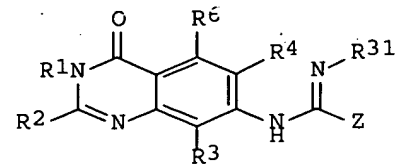


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 25 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:490293 HCAPLUS Full-text
DOCUMENT NUMBER: 143:43903
TITLE: Preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005051391	A1	20050609	WO 2004-US39020		20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004293012	A1	20050609	AU 2004-293012		20041119
CA 2545601	A1	20050609	CA 2004-2545601		20041119
US 2005192297	A1	20050901	US 2004-993147		20041119
EP 1686996	A1	20060809	EP 2004-811698		20041119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS					
CN 1901916	A	20070124	CN 2004-80039762		20041119
PRIORITY APPLN. INFO.:			US 2003-523336P	P	20031119
			US 2003-524492P	P	20031124
			WO 2004-US39020	W	20041119

OTHER SOURCE(S): MARPAT 143:43903
GI



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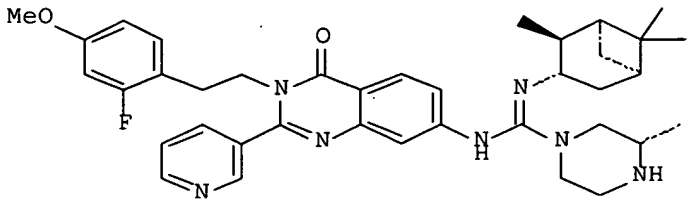


II

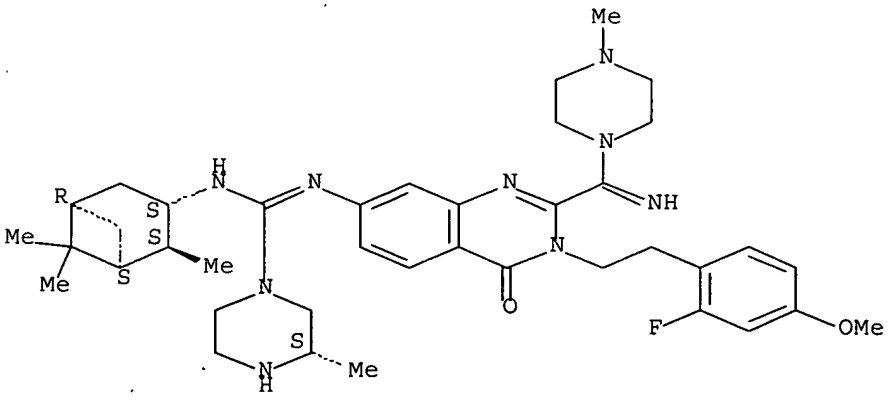
AB Title compds. [I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, Cl, F, Br, iodo, OH, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl; Z = (substituted) 3-oxopiperazinyl; and tautomers], were prepared Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea derivative in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice.

IT *628326-00-1P*
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation)

RN 628326-00-1 HCAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(2-fluoro-4-methoxyphenyl)ethyl]-3,4-dihydro-2-[imino(4-methyl-1-piperazinyl)methyl]-4-oxo-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

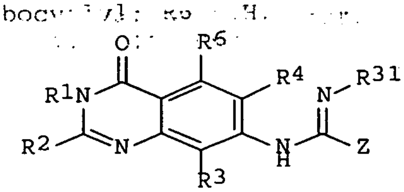


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 26 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1156498 HCAPLUS Full-text
DOCUMENT NUMBER: 142:93848
TITLE: Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004112793	A1	20041229	WO 2004-US15959		20040521
WO 2004112793	B1	20050310			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004249120	A1	20041229	AU 2004-249120		20040521
CA 2523015	A1	20041229	CA 2004-2523015		20040521
US 2005059662	A1	20050317	US 2004-850967		20040521
EP 1651229	A1	20060503	EP 2004-776069		20040521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1829517	A	20060906	CN 2004-80013951		20040521
JP 2007501861	T	20070201	JP 2006-533275		20040521
PRIORITY APPLN. INFO.:			US 2003-473317P	P	20030523
			US 2003-523336P	P	20031119
			US 2003-524492P	P	20031124
			WO 2004-US15959	W	20040521

OTHER SOURCE(S): MARPAT 142:93848
GI



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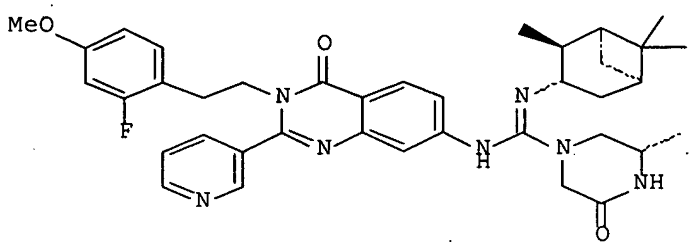


II

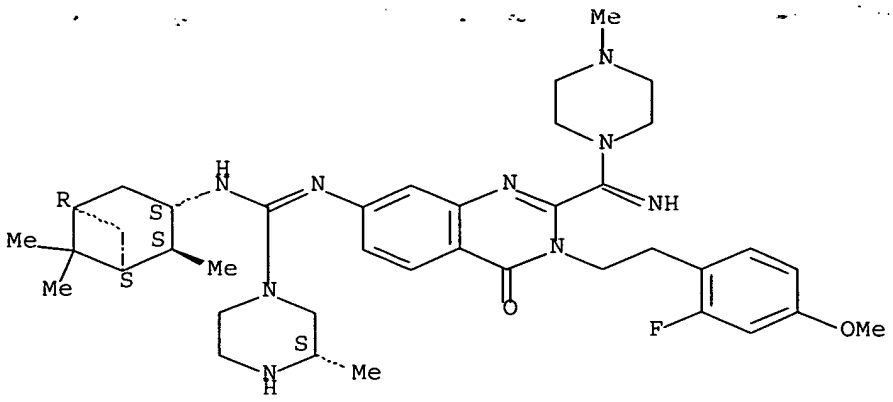
AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R agonists such as I-III [Z1 = CR4, N: Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl; R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.)] are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical composition comprising the compound I is disclosed.

IT *628326-00-1P*
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)

RN 628326-00-1 HCAPLUS

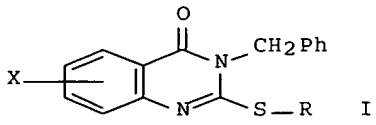
CN 1-Piperazinecarboximidamide, N-[3-[2-(2-fluoro-4-methoxyphenyl)ethyl]-3,4-dihydro-2-[imino(4-methyl-1-piperazinyl)methyl]-4-oxo-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 27 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:703615 HCAPLUS Full-text
DOCUMENT NUMBER: 142:482000
TITLE: Synthesis and biological screening of some new substituted-3H-quinazolin-4-one analogs as antimicrobial agents
AUTHOR(S): al-Omar, Mohamed A.; abdel-Hamide, Sami G.; al-Khamees, Hamad A.; el-Subbagh, Hussein I.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia
SOURCE: Saudi Pharmaceutical Journal (2004), 12(2-3), 63-71
CODEN: SPJOEM; ISSN: 1319-0164
PUBLISHER: Saudi Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:482000
GI



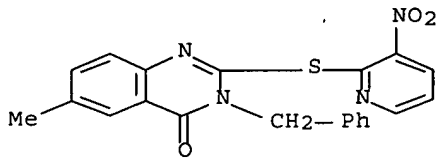
I

AB New substituted 3-benzyl-3H-quinazolin-4-one 2-thioethers were prepared and screened for their antimicrobial activity. Heterocyclization of substituted anthranilic acids with benzyl isothiocyanate gave 3-benzyl-2-mercapto-X-3H-quinazolin-3-ones (6-10, X = 5-Me, 6-Me, 8-Me, 8-MeO, 6-NO2), which were sulfurized by P2S5 to give the corresponding 4-thiones (11-15, same X). S-Alkylation or -arylation of 6-10 gave compds. I (same X; 16-20, R = 3-nitro-2-pyridinyl; 21-25, R = Me, 26-30, R = PhCH2; 31-35, R = acetonyl; 36-40, R = CH2COPh). Compound 17, 2-(3-nitro-2-pyridyl)thio-3-benzyl-6-methyl-3H-quinazolin-4-one, showed a remarkable broad spectrum of antimicrobial activity, while compound 35, 2-acetylmethylthio-3-benzyl-6-nitro-3H-

003-808978 quinazolin-4-one, expressed a selective antifungal activity. The detailed synthesis and the antimicrobial screening of the new compds. are reported.

IT *852239-50-0P*
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of 3H-quinazolin-4-one 2-thioether derivs.)

RN 852239-50-0 HCAPLUS
CN 4(3H)-Quinazolinone, 6-methyl-2-[(3-nitro-2-pyridinyl)thio]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 28 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:589250 HCAPLUS Full-text
DOCUMENT NUMBER: 141:140470
TITLE: Preparation of aminophenylbenzamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Zhou, Zhihong
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 318 pp., Cont.-in-part of U.S. Ser. No. 242,304.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004142953	A1	20040722	US 2003-358556	20030204
US 6897220	B2	20050524		
US 2004106599	A1	20040603	US 2002-242304	20020912
AU 2004210016	A1	20040819	AU 2004-210016	20040204
CA 2515338	A1	20040819	CA 2004-2515338	20040204
WO 2004069823	A1	20040819	WO 2004-CA139	20040204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1590340	A1	20051102	EP 2004-707852	20040204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1723207	A	20060118	CN 2004-80001769	20040204
BR 2004007195	A	20060214	BR 2004-7195	20040204

JP 2006514998	T	20060518	JP 2005-518241	20040202
US 2006058298	A1	20060316	US 2005-81095	20050315
JP 2005255683	A	20050922	JP 2005-80310	20050318
US 2005288282	A1	20051229	US 2005-91025	20050325
AU 2006252047	A1	20070111	AU 2006-252047	20061214
PRIORITY APPLN. INFO.:			US 2001-322402P	P 20010914
			US 2002-391728P	P 20020626
			US 2002-242304	A2 20020912
			AU 2002-327627	A3 20020912
			JP 2003-528544	A3 20020912
			US 2003-358556	A 20030204
			WO 2004-CA139	W 20040204

OTHER SOURCE(S): MARPAT 141:140470

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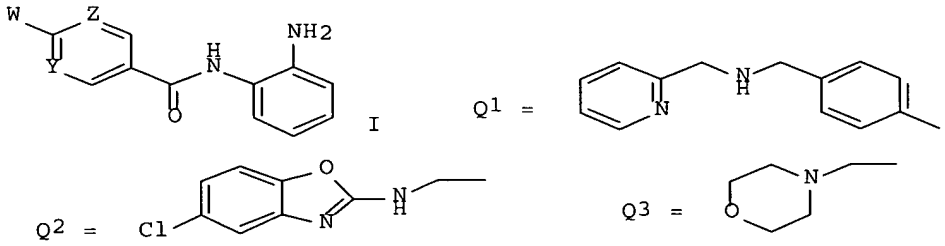
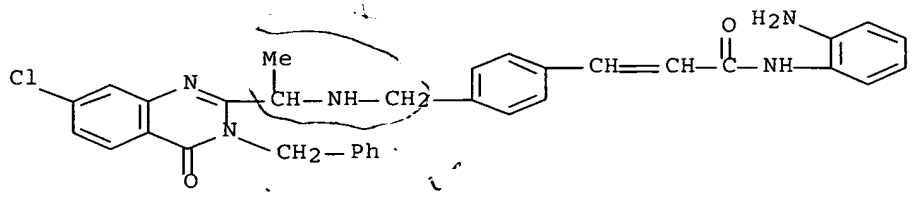


AB Title compds. e.g. (I; Y, Z = N, CH; W = Q1, Q2, Q3, etc.), were prepared Thus, 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]benzoic acid (preparation given) in DMF was stirred with Et3N, BOP, and 1,2-phenylenediamine to give 63% 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]-N-(2-aminophenyl)benzamide. The latter inhibited human histone deacetylase HDAC-1 with IC50 = 0.4 μM.

IT ***503041-91-6P***, N-(2-Aminophenyl)-3-(4-(((1-(3-benzyl-7-chloro-3,4-dihydro-4-oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminophenylbenzamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503041-91-6 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



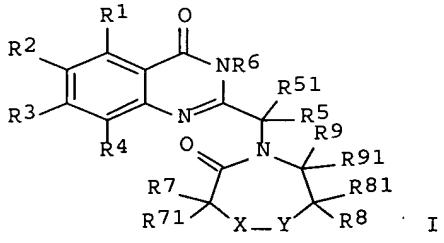
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS

treat; on an amended order RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 29 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:534196 HCAPLUS Full-text
DOCUMENT NUMBER: 141:89125
TITLE: Preparation of oxodiazepanylquinazolinones as modulators of KSP kinesin activity for treatment of proliferative disease.
INVENTOR(S): Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven David; Lu, Pu Ping; Morgans, David J., Jr.; Newlander, Kenneth Allen
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Cytokinetics
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004055008	A1	20040701	WO 2003-US39708		20031212
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003299612	A1	20040709	AU 2003-299612		20031212
EP 1581520	A1	20051005	EP 2003-799901		20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2006052360	A1	20060309	US 2005-538228		20050608
PRIORITY APPLN. INFO.:			US 2002-433494P	P	20021213
			US 2002-435001P	P	20021219
			WO 2003-US39708	W	20031212

OTHER SOURCE(S): MARPAT 141:89125
GI



I

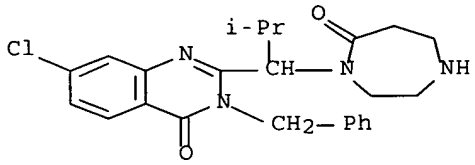
AB Title compds. [I; R1-R4 = H, halo, OH, NO2, cyano, (substituted) alkyl, alkoxy, aryl, heteroaryl, etc.; R5, R51 = H, (substituted) alkyl, aryl,

aralkyl, heteroaryl, heteroaralkyl; R5R51C = 3-7 membered carbocyclyl; R6 = H, (substituted) alkyl, aryl, aralkylo, heteroaryl, heteroaralkyl, R7, R71, R8, R81, R9, R91 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; X, Y = CR10R11, NR12, O, S; R10, R11 = H, (substituted) alkyl, aryl, heteroaryl; R12 = H, (substituted) alkyl, aralkyl, heteroaralkyl, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, alkoxycarbonyl, etc.], were prepared Thus, N-(2-aminoethyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin- 2-yl)-2-methylpropyl]acrylamide (preparation given) was refluxed overnight in MeOH to give 3-benzyl-7-chloro-2-[2-methyl-1-(7-oxo-1,4-diazepan-1- yl)propyl]-3H-quinazolin-4-one. Some I inhibited cell proliferation with GI50 <10 nM.

IT *713526-19-3P*
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(claimed compound; preparation of oxodiazepanylquinazolinones as modulators of
KSP kinesin activity)

RN 713526-19-3 HCAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(hexahydro-7-oxo-1H-1,4-diazepin-1-yl)-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 30 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:363268 HCAPLUS Full-text
DOCUMENT NUMBER: 141:46875
TITLE: Antitumor Activity of a Kinesin Inhibitor
AUTHOR(S): Sakowicz, Roman; Finer, Jeffrey T.; Beraud, Christophe; Crompton, Anne; Lewis, Evan; Fritsch, Alex; Lee, Yan; Mak, John; Moody, Robert; Turincio, Rebecca; Chabala, John C.; Gonzales, Paul; Roth, Stephanie; Weitman, Steve; Wood, Kenneth W.
CORPORATE SOURCE: Institute for Drug Development, Cancer Therapy and Research Center, San Antonio, TX, USA
SOURCE: Cancer Research (2004), 64(9), 3276-3280
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

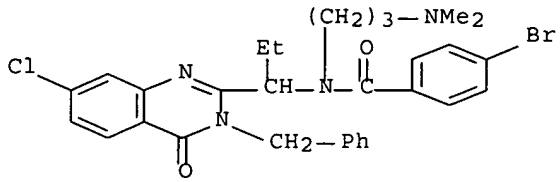
AB Several members of the kinesin family of microtubule motor proteins play essential roles in mitotic spindle function and are potential targets for the discovery of novel antimitotic cancer therapies. KSP, also known as HsEg5, is a kinesin that plays an essential role in formation of a bipolar mitotic spindle and is required for cell cycle progression through mitosis. We identified a potent inhibitor of KSP, CK0106023, which causes mitotic arrest and growth inhibition in several human tumor cell lines. Here we show that

CK0106023 is an allosteric inhibitor of KSP motor domain ATPase with a Ki of 12 nM. Among five kinesins tested, CK0106023 was specific for KSP. In tumor-bearing mice, CK0106023 exhibited antitumor activity comparable to or exceeding that of paclitaxel and caused the formation of monopolar mitotic figures identical to those produced in cultured cells. KSP was most abundant in proliferating human tissues and was absent from cultured postmitotic neurons. These findings are the first to demonstrate the feasibility of targeting mitotic kinesins for the treatment of cancer.

IT *336115-72-1*, CK 0106023
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor activity of kinesin inhibitor)

RN 336115-72-1 HCAPLUS

CN Benzamide, 4-bromo-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

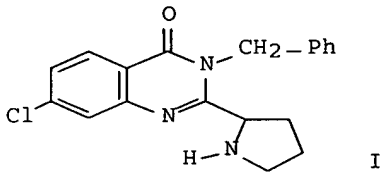
L28 ANSWER 31 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:354730 HCAPLUS Full-text
DOCUMENT NUMBER: 140:350546
TITLE: Heterocyclic-substituted quinazolinones preparation for treating cellular proliferative diseases
INVENTOR(S): Bergnes, Gustave; Morgans, David J., Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004034972	A2	20040429	WO 2003-US30788	20030930
WO 2004034972	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003277079	A1	20040504	AU 2003-277079	20030930

EP 1558083	A2	20050803	EP 2003-808978	20030930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501306	T	20060112	JP 2004-544787	20030930
US 2006264449	A1	20061123	US 2005-529745	20051114

PRIORITY APPLN. INFO.: US 2002-414756P P 20020930
WO 2003-US30788 W 20030930

OTHER SOURCE(S): MARPAT 140:350546
GI

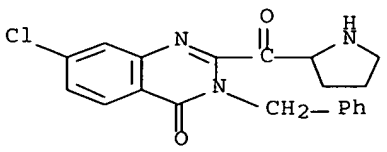


I

AB Heterocyclic-substituted quinazolinones were prepared for treating cellular proliferative diseases and disorders, for example, by modulating the activity of KSP. I and other similar compds. were prepared and examples were given, e.g., induction of mitotic arrest in cell populations treated with a KSP inhibitor, monopolar spindle formation following application of a KSP inhibitor, and inhibition of cellular proliferation in tumor cells lines with the inhibitors.

IT *681827-44-1P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(heterocyclic-substituted quinazolinones preparation for treating cellular proliferative diseases)

RN 681827-44-1 HCAPLUS
CN 4(3H)-Quinazolinone, 7-chloro-3-(phenylmethyl)-2-(2-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)

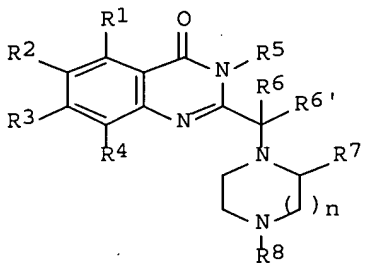


L28 ANSWER 32 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:203551 HCAPLUS Full-text
DOCUMENT NUMBER: 140:253579
TITLE: Preparation of 2-(piperazin-1-ylmethyl)-3H-quinazolin-4-one derivatives as inhibitors of mitotic kinesin KSP
INVENTOR(S): Bergnes, Gustave
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004048853	A1	20040311	US 2003-644244	20030820
WO 2004018058	A2	20040304	WO 2003-US26093	20030820
WO 2004018058	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003262747	A1	20040311	AU 2003-262747	20030820
EP 1539180	A2	20050615	EP 2003-793179	20030820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005536553	T	20051202	JP 2004-531141	20030820
US 2006264420	A1	20061123	US 2006-370263	20060306
PRIORITY APPLN. INFO.:			US 2002-404864P	P 20020821
			US 2003-644244	B1 20030820
			WO 2003-US26093	W 20030820

OTHER SOURCE(S): MARPAT 140:253579
GI



I

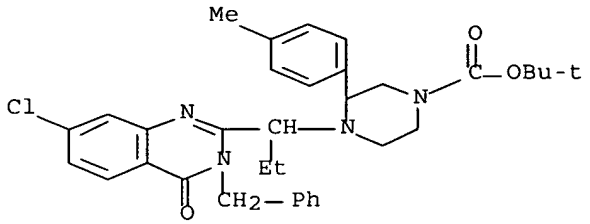
AB The title compds. (I; R1, R2, R3, R4 = H, HO , each (un)substituted alkyl or alkoxy, halogen or cyano; R5 = H, each (un)substituted alkyl, aryl, or aralkyl; R6, R 6' = H, each (un)substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl, or R6 and R6' taken together form a 3- to 7-membered nonarom. carbocyclic or heterocyclic ring; R7 = each (un)substituted alkyl, aryl, or aralkyl; R8 = H, each (un)substituted alkyl, aryl, or aralkyl; n = 1, 2), or pharmaceutically acceptable salts or solvates thereof. These compds. are useful for treating cellular proliferative diseases and disorders such as

cancer, hyperplasia, restenosis, cardiac hypertrophy, an immune disorder or inflammation, by modulating the activity of KSP.

IT *669695-61-8P*, 4-[1-(3-Benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)propyl]-3-(p-tolyl)piperazine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylmethyl-3H-quinazolinone derivs. as inhibitors of mitotic kinesin KSP for treating cellular proliferative diseases and disorders)

RN 669695-61-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-3-(4-methylphenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 33 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:80465 HCAPLUS Full-text
DOCUMENT NUMBER: 140:139471
TITLE: Preparation of of quinazolinone-like derivatives to treat cellular proliferative diseases
INVENTOR(S): Bergnes, Gustave; Smith, Whitney W.; Yao, Bing; Morgans, David J., Jr.; MacDonald, Andrew
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009036	A2	20040129	WO 2003-US23319	20030723
WO 2004009036	A3	20040819		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003256805	A1	20040209	AU 2003-256805	20030723
US 2004142949	A1	20040722	US 2003-626012	20030723

EP 1537089 A2 20050608 EP 2003-766028 20030723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006501201 T 20060112 JP 2004-523405 20030723
PRIORITY APPLN. INFO.: US 2002-398224P P 20020723
WO 2003-US23319 W 20030723

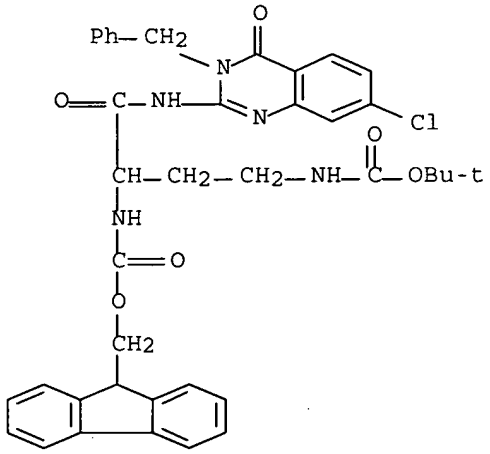
OTHER SOURCE(S): MARPAT 140:139471

AB The invention relates to quinazolinone-like derivs. that are inhibitors of the mitotic kinesin KSP and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders and inflammation. Preparation of 3-Benzyl-7-chloro-2-(3-benzyl-2-oxohexahydropyrimidin-4-yl)-3H-quinazolin- 4-one is included.

IT ***651323-45-4P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinone derivs. to treat cellular proliferative diseases)

RN 651323-45-4 HCAPLUS

CN Carbamic acid, [1-[[[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]amino]carbonyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]propyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 34 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:951025 HCAPLUS Full-text
DOCUMENT NUMBER: 140:16739
TITLE: Preparation of (guanidino)quinazolinones as MC4-R agonists for treatment of obesity and type II diabetes
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003099818	A1	20031204	WO 2003-US16442 20030523
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
CA 2486966	A1	20031204	CA 2003-2486966 20030523
AU 2003245325	A1	20031212	AU 2003-245325 20030523
US 2004019049	A1	20040129	US 2003-444495 20030523
US 7034033	B2	20060425	
EP 1551834	A1	20050713	EP 2003-738964 20030523
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
JP 2005531583	T	20051020	JP 2004-507475 20030523
US 2006030573	A1	20060209	US 2005-248040 20051011
US 2006235019	A1	20061019	US 2006-515434 20060605
PRIORITY APPLN. INFO.:			US 2002-382762P P 20020523
			US 2003-441019P P 20030117
			US 2002-382763P P 20020523
			US 2003-444495 A3 20030523
			WO 2003-US16442 W 20030523

OTHER SOURCE(S): MARPAT 140:16739
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title low mol. weight, guanidine-containing mols. I, II, and III [wherein Z1 = CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted (hetero)arylalkyl, (hetero)aryl, heterocyclyl, cycloalkyl(alkyl), heterocycloalkyl(alkyl), alkenyl, alkynyl, alkyl; R2 = H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, heteroaryl, heterocyclyl, (hetero)arylalkyl, cycloalkylalkyl, alkylcarbonyl, arylcarbonyl; R3 = H or (un)substituted (hetero)arylalkyl, alkoxy, (di)alkylamino, (hetero)aryl, heterocyclyl, (hetero)cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R4-R6 = independently H, halo, OH, NH2, CN, NO2, or (un)substituted alkoxy, (cyclo)alkyl, alkenyl, alkynyl, (di)alkylamino, heterocycylamino(carbonyl), heteroarylamino(carbonyl), aminocarbonyl, (di)alkylaminocarbonyl; W = (un)substituted guanidino; and prodrugs, pharmaceutically acceptable salts, stereoisomers, tautomers, hydrates, hydrides, or solvates thereof] were prepared as melanocortin-4 receptor (MC4-R) agonists. For example, amidation of 4,5-difluoroanthranilic acid with 4-fluorophenylethylamine in the presence of HOBt and diisopropylethylamine in THF provided the benzamide (90%). The 2-aminobenzamide was cyclized with tri-Me orthoformate by heating to 120° for 3 h affording 6,7-difluoro-3-[2-(4-fluorophenyl)ethyl]-3-hydroquinazolin-4-one (75%), which was converted to the azide (95%) by reaction with NaN3 in DMSO. The azide was coupled with (1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-ylisocyanate in the presence of PMe3 in THF, and the product was reacted with (6S,2R)-2,6-dimethylpiperazine to give the guanidine derivative IV. EC50 values of one hundred five test compds. were determined by treating cells expressing MC4-R with test compds., lysing the cells, and measuring intercellular cAMP concns. Compds. listed displayed -log EC50 values above

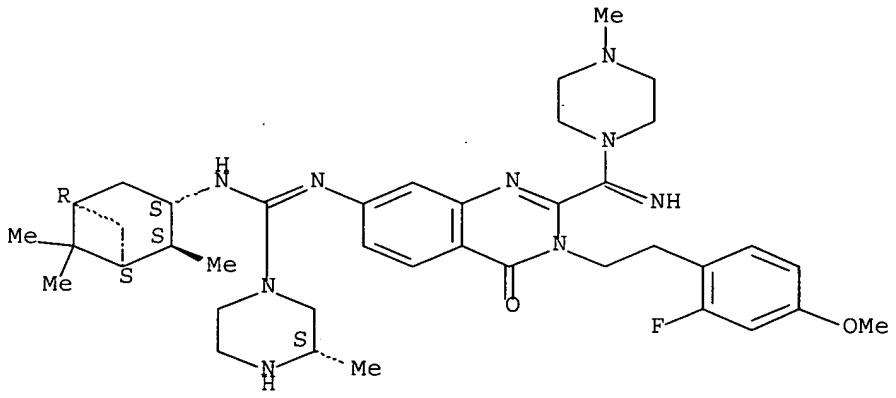
about 3. Thus, I, II, III, and their pharmaceutical compns. are useful for the treatment of MC4-R-mediated diseases, such as obesity or type II diabetes (no data).

IT *628326-00-1P*
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MC4-R agonist; preparation of (guanidino)quinazolinones as MC4-R agonists for treatment of obesity and type II diabetes)

RN 628326-00-1 HCAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(2-fluoro-4-methoxyphenyl)ethyl]-3,4-dihydro-2-[imino(4-methyl-1-piperazinyl)methyl]-4-oxo-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 35 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:931177 HCAPLUS Full-text
DOCUMENT NUMBER: 140:5063
TITLE: 2-[1-(Imidazol-1-yl)alkyl]-3H-quinazolin-4-one derivatives, pharmaceutical compositions containing them, and methods of their use as KSP kinesin inhibitors for the treatment of cellular proliferative diseases
INVENTOR(S): Feng, Bainian; Bergnes, Gustave; Morgans, David J. C., Jr.; Dhanak, Dashyant; Knight, Steven David; Darcy, Michael Gerard
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003097053 A1 20031127 WO 2003-US14787 20030508
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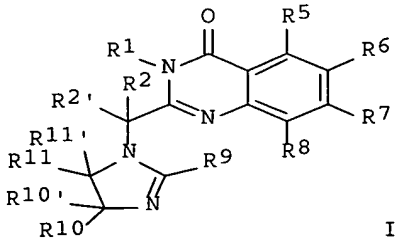
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003270015 A1 20031202 AU 2003-270015 20030508
US 2004077668 A1 20040422 US 2003-435069 20030508
EP 1553931 A1 20050720 EP 2003-753011 20030508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2005530785 T 20051013 JP 2004-505052 20030508
US 2006094735 A1 20060504 US 2005-262506 20051027
PRIORITY APPLN. INFO.: US 2002-379531P P 20020509
US 2003-435069 A1 20030508
WO 2003-US14787 W 20030508
OTHER SOURCE(S): MARPAT 140:5063
GI



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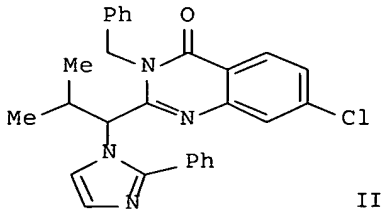


II

AB Compds. useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP (kinesin-like spindle protein), and especially human KSP, are disclosed (no data). In particular, compds. I are claimed [wherein: R1 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R2, R2' = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R2R2' = (un)substituted 3- to 7-membered ring; R5, R6, R7, R8 = H, (un)substituted alkyl or alkoxy, halo, OH, NO2, cyano, dialkylamino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, (un)substituted aryl, aryloxy, heteroaryl, or heteroaryloxy; R9 = H, (un)substituted alkyl, aryl, aralkyl, or heteroaryl; R10, R10', R11, R11' = H, (un)substituted alkyl, aryl, or aralkyl; or R10'R11' = pi bond; including single and mixed stereoisomers and pharmaceutically acceptable salts and/or solvates]. Approx. 60 compds. I are described in examples. Compds. I having (R)-configuration at the stereogenic center bearing R2 are preferred for reasons of greater potency than the (S)-isomers. For instance, 2-(1-amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one underwent a sequence of N-alkylation at amino with BrCH2CH(OMe)2 and K2CO3 (59%), amidation of the resultant secondary amine with PhCOCl and Et3N (54%), and deprotection/cyclocondensation with NH4OAc in refluxing AcOH (23%) to give

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SX
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

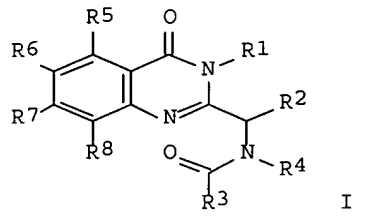
CA 2475879	A1	20030828	CA 2003-2475879	20030214
AU 2003213092	A1	20030909	AU 2003-213092	20030214
US 2004067969	A1	20040408	US 2003-366828	20030214
US 7009049	B2	20060307		
EP 1480980	A2	20041201	EP 2003-709135	20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529076	T	20050929	JP 2003-569608	20030214
US 2006041130	A1	20060223	US 2005-254211	20051020
US 7161002	B2	20070109		

PRIORITY APPLN. INFO.:

US 2002-357244P	P	20020215
US 2002-380746P	P	20020514
US 2003-366828	A3	20030214
WO 2003-US4713	W	20030214

OTHER SOURCE(S): MARPAT 139:214481

GI



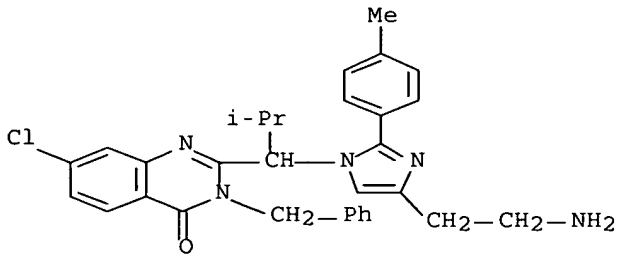
I

AB The present invention provides intermediates, synthetic methods and novel quinazolinone (shown as I; e.g. (R)-N-(3-aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-4- methylbenzamide) compns. of matter, which are inhibitors of the mitotic kinesin KSP (no data) and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders and inflammation (no data); only the compds., compns. of matter and synthetic methods are claimed. The method comprises contacting HO2CCH(R2)NHX (R2 = oxaalkyl or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; X = H, protecting group (e.g. Boc, CBZ, phthalide, allyloxycarbonyl, 2,2,2- trichloroethoxycarbonyl); e.g. valine) with iso-Bu chloroformate followed by contacting the resulting product with (un)substituted 2-aminobenzoic acids to give I. Eight example prepns. of I are included. For example, (S)-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2- methylpropyl]carbamic acid tert-Bu ester was prepared starting from N-Boc-L-valine and involving intermediates 2-[[2-[(tert- butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid, (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2- methylpropyl]carbamic acid tert-Bu ester, (S)-[1-[[(2-benzylcarbamoyl-5- chlorophenyl)imino]methyl]-2-methylpropyl]carbamic acid tert-Bu ester (in mixture with the final product). In the key step, to 2-[[2-[(tert- butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid was added 13.2 mL (0.1 mol) of iso-Bu chloroformate over 15 min (internal temperature 5°) followed by the addition of 11.1 mL (0.1 mol) of anhydrous N-methylmorpholine over 15 min at

invention compound II. Compds. I are said to be active against human ovarian cancer cells SKOV3 in vitro. Visual inspection revealed that the compds. caused cell cycle arrest in the prometaphase stage of mitosis; DNA was condensed and spindle formation had initiated, but arrested cells uniformly displayed monopolar spindles, indicating that there was an inhibition of spindle pole body separation

IT *627891-22-9P*
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of (imidazolylalkyl)quinazolinone derivs. as KSP kinesin inhibitors for the treatment of cellular proliferative diseases)

RN 627891-22-9 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[4-(2-aminoethyl)-2-(4-methylphenyl)-1H-imidazol-1-yl]-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 36 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678784 HCAPLUS Full-text
DOCUMENT NUMBER: 139:214481
TITLE: Syntheses of enantiomerically pure quinazolinones
INVENTOR(S): Bergnes, Gustav; Ha, Edward; Yiannikourous, George; Kalaritis, Panos; Yonce, Brandon E.; Welday, Kurt Alan, Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; SmithKline Beecham Corp.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070701	A2	20030828	WO 2003-US4713	20030214
WO 2003070701	A3	20031016		
WO 2003070701	B1	20031218		

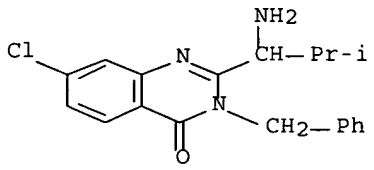
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,

0°, the mixture was stirred for an addnl. hour at 0° to give (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester. For I: R1 is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R3 is H, oxaalkyl, R9O-, R9NH- or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, alkylheteroaryl, or oxaalkylaryl; R4 is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R5, R6, R7 and R8 = H, hydroxy, (un)substituted alkyl, alkoxy, halogen, fluoroalkyl, nitro, cyano, amino, alkylamino, dialkylamino, alkylsulfonyl, alkylsulfonamido, sulfonamidoalkyl, sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, aryl or heteroaryl; and R9 is (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl. The compns. of matter comprise I and detectable amts. of ≥1 unreacted starting materials and/or a cyclo-dehydration reagent; they are claimed, presumably because it is important to monitor the purity of pharmaceutical compds. for the presence of such materials, which presence comprises a way of detecting use of a process of the invention.

IT *336119-88-1P*, 2-(1-Amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(resolution; syntheses of enantiomerically pure quinazolinones)

RN 336119-88-1 HCAPLUS

CN 4(3H)-Quinazolinone, 2-(1-amino-2-methylpropyl)-7-chloro-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



L28 ANSWER 37 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:639606 HCAPLUS Full-text

DOCUMENT NUMBER: 139:292223

TITLE: Synthesis of Substituted 4-Oxo-2-thioxo-1,2,3,4-tetrahydroquinazolines and 4-Oxo-3,4-dihydroquinazoline-2-thiols

AUTHOR(S): Ivachtchenko, Alexandre V.; Kovalenko, Sergiy M.; Drushlyak, Oleksandr G.

CORPORATE SOURCE: Chemical Diversity Labs Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Combinatorial Chemistry (2003), 5(6), 775-788
CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:292223

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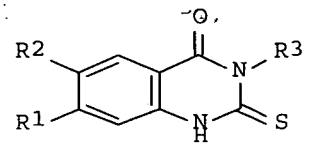
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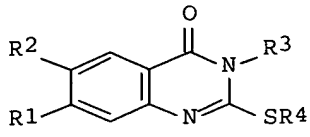
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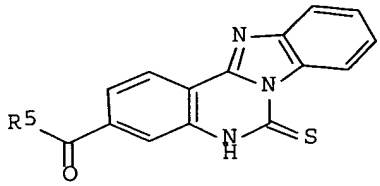
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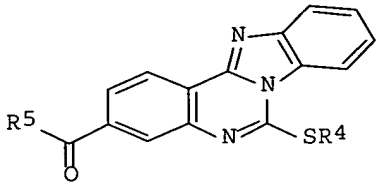
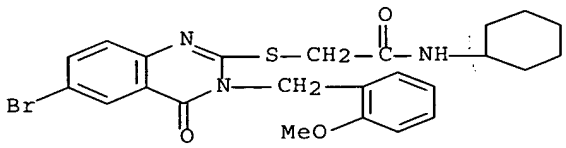

IV

AB A liquid-phase synthesis of combinatorial libraries of new disubstituted 4-oxo-2-thioxo-1,2,3,4-tetrahydroquinazolines I (R1 = H, Cl, MeO2C, etc.; R2 = H, Br, F, etc.; R3 = Et2NCH2CH2, cyclohexyl, PhCH2, 2-H2NC6H4, etc.) and trisubstituted 4-oxo-3,4-dihydroquinazoline-2-thiols II [R4 = 4-pyridylmethyl, (PhCH2NHCO)2CH, etc.] was developed. I were prepared using two general procedures: (i) cyclization of substituted Me anthranilates with isothiocyanates, or (ii) cyclization of substituted 2-(methoxycarbonyl)phenyl isothiocyanates with primary amines or hydrazines. II were prepared by S-alkylation of I with alkyl or aryl halides. The hydrolysis of Me benzimidazo[1,2-c]quinazoline-6(5H)-thione- 3-carboxylate III (R5 = MeO) led to the corresponding acid, which was utilized in the synthesis of new benzimidazo[1,2-c]quinazoline-6(5H)- thione-3-carboxamide (R5 = BuNH, cyclohexylamino, 4-methyl-1-piperazinyl, etc.) and S-substituted 6-mercaptobenzimidazo[1,2-c]quinazoline-3- carboxamide IV libraries.

IT ***443348-40-1P***
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(liquid-phase combinatorial synthesis of oxo(thioxo)tetrahydroquinazolines and mercapto(oxo)dihydroquinazolines)

RN 443348-40-1 HCAPLUS

CN Acetamide, 2-[[6-bromo-3,4-dihydro-3-[(2-methoxyphenyl)methyl]-4-oxo-2-quinazolinyl]thio]-N-cyclohexyl- (9CI) (CA INDEX NAME)



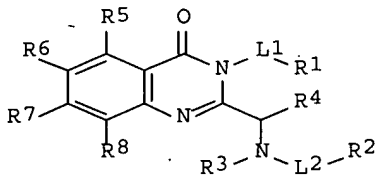
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 38 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:563066 HCAPLUS Full-text
DOCUMENT NUMBER: 139:117435
TITLE: Preparation of 3,4-dihydroquinazolin-4-one derivatives as fungal efflux pump inhibitors

INVENTOR(S): Watkins, Will J.; Lemoine, Remy; Cho, Aesop; Renau, Thomas E.
PATENT ASSIGNEE(S): Essential Therapeutics, Inc., USA
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6596723	B1	20030722	US 2001-906864	20010716
US 2003220338	A1	20031127	US 2002-243074	20020912
US 2003229097	A1	20031211	US 2002-334755	20021230
US 6689782	B2	20040210		

PRIORITY APPLN. INFO.: US 2001-906864 A2 20010716
US 2002-243074 A2 20020912
OTHER SOURCE(S): MARPAT 139:117435
GI



I

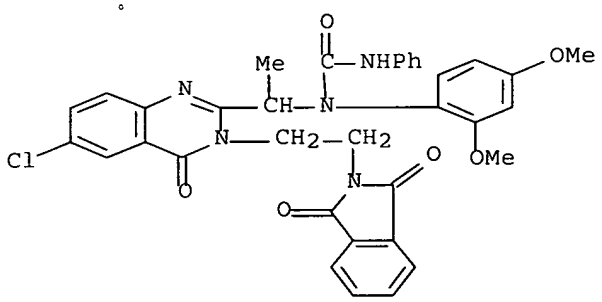
AB This invention relates to compds. represented by general formula [I; L1 = a single bond, C1-4 alkylene; R1 = (un)substituted C3-7 heteroalicyclic containing 1 nitrogen atom and 0 to 2 addnl. heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, -Cx2NHC(:NH)Cx3, -Cx2NCx3C(:NH)Cx13, -Cx2NHC(:O)Cx3; L2 = CO, SO2, C(O)O, CONH, CONCx5, C(S)NH, C(S)NCx5, C(NH)NH, C(NH)NCx5, S(O)2NH, S(O)2NCx5; R2 = (un)substituted aryl, C1-4 alkyl; R3 = (un)substituted aryl; R4 = C1-4 alkyl; R5, R6, R7, R8 = H, halo, -Cx12, -OCx12, -O(Cx12)O-; Cx2, Cx3, Cx5, Cx12, and Cx13 are independent (C1-C4)alkyl; the absolute stereochem. of centers of asymmetry may be independently R or S] or, pharmaceutically acceptable salts thereof. These compds. are efflux pump inhibitors and therefore are useful as potentiators of anti-fungal agents for the treatment of infections caused by fungi that employ an efflux pump resistance mechanism. Thus, 3.0 g 2-amino-5-chlorobenzamide and 2.5 mL propionic anhydride were mixed and stirred at 90° under nitrogen for 20 min, treated with aqueous sodium hydroxide (2 M, 36 mL), and refluxed for 1 h to give 100% 6-chloro-2-ethyl-3,4-dihydroquinazolin-4-one (II). II (1.0 g) and 1.58 g N-(2-bromoethyl)phthalimide were dissolved in 50 mL DMF, treated with freshly crushed K2CO3, and stirred at 70° for 24 h to give 36% 6-chloro-2-ethyl-3-(2-phthalimidoethyl)-3,4- dihydroquinazolin-4-one which (0.66 g) was brominated by Br in AcOH at 60° for 2 h to give 69% 2-(1-bromoethyl)-6-chloro-3-(2- phthalimidoethyl)-3,4-dihydroquinazolin-4-one (III). III (0.71 g) and 0.26 g 2,4-dimethoxyaniline were dissolved in 20 mL DMF, treated with freshly crushed K2CO3, and stirred at 80° for 16 h to give 2-[1-(3,4-dimethoxyphenyl)ethyl]-6-chloro-3-(2-phthalimidoethyl)-3,4-dihydroquinazolin-4-one which (0.46 g) was dissolved in 5 mL 1,2-dichloroethane, treated with 0.12 mL Ph isocyanate, and stirred at 40° for 16

h to give 66% N-[1-[6-Chloro-3-[2-(1,3-dioxo-1,3- dihydroisoindol-2-yl)ethyl]-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-(2,4- dimethoxyphenyl)-N'-phenylurea (IV). IV showed MPC8 (concentration of efflux pump inhibitor necessary to reduce the fluconazole MIC 8-fold) of ≤0.03 μg/mL against C. albicans vs. MIC (concentration of fluconazole alone that causes a 80% inhibition the growth/proliferation of fungal cells) of 16 μg/mL.

IT *562836-17-3P*, N-[1-[6-Chloro-3-[2-(1,3-dioxo-1,3-dihydroisoindol-2-yl)ethyl]-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-(2,4-dimethoxyphenyl)-N'-phenylurea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3,4-dihydroquinazolin-4-one derivs. as fungal efflux pump inhibitors and potentiators of antifungal agents for treating infections caused by fungi employing efflux pump resistance mechanism)

RN 562836-17-3 HCAPLUS

CN Urea, N-[1-[6-chloro-3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2,4-dimethoxyphenyl)-N'-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 39 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:417728 HCAPLUS Full-text
DOCUMENT NUMBER: 139:6884
TITLE: Process for the racemization of chiral quinazolinones
INVENTOR(S): Yao, Bing; Smith, Whitney W.; Bergnes, Gustave; Morgans, David, Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

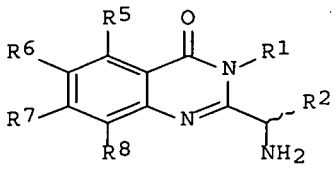
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043995	A1	20030530	WO 2002-US37410	20021120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

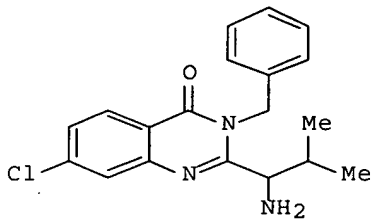
PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002346471	A1	20030610	AU 2002-346471		20021120
US 2003166933	A1	20030904	US 2002-300967		20021120
US 6753428	B2	20040622			
US 2004192913	A1	20040930	US 2004-773602		20040206
PRIORITY APPLN. INFO.:			US 2001-332148P	P	20011120
			US 2002-300967	A1	20021120
			WO 2002-US37410	W	20021120

OTHER SOURCE(S): MARPAT 139:6884
GI



I



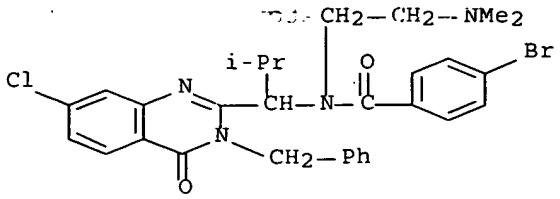
II

AB Racemates were obtained from one of the enantiomers, or an enantiomerically enriched mixture, of an optically active quinazolinone derivative I [wherein R1 = H or (un)substituted alkyl, (hetero)aryl, or (hetero)aralkyl; R2 = oxaalkyl or (un)substituted alkyl, (hetero)aryl, or (hetero)aralkyl; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfamido(alkyl), sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] by reaction of the compound with an alkali alkoxide of a primary alc. and isolation of the racemate. For example, treatment of (S)-II with NaOEt (21% by weight solution in denatured alc. containing 5% toluene) in absolute EtOH and heating at reflux for 36 h, followed by crystallization gave (±)-II in a 1:1.1 mixture of (R)- and (S)-isomers. The invention also provides for the subsequent resolution of the racemate and use of the other enantiomer in the synthesis of pharmacol. active therapeutic agents. Thus, an efficient method of converting an inactive or undesirable enantiomer into the other usable, desirable enantiomer is disclosed.

IT *533926-44-2P*
RL: IMF (Industrial manufacture); PREP (Preparation)
(racemate; preparation and racemization of chiral quinazolinones)

RN 533926-44-2 HCAPLUS

CN Benzamide, 4-bromo-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

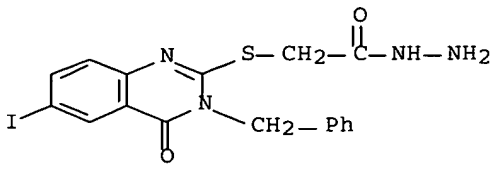


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 40 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:375555 HCAPLUS Full-text
DOCUMENT NUMBER: 139:190626
TITLE: Substituted quinazolines, Part 2. Synthesis and in-vitro anticancer evaluation of new 2-substituted mercapto-3H-quinazoline analogs
AUTHOR(S): Khalil, Ashraf A.; Abdel Hamide, Sami G.; Al-Obaid, Abdulrahman M.; El-Subbagh, Hussein I.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2003), 336(2), 95-103
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:190626

AB A new series of 2-substituted mercapto-3H-quinozolines bearing 6-iodo and 2-heteroarylthio functions was synthesized and screened for their in vitro antitumor activity. Eighteen compds. were identified as active anticancer agents. N'-[(3-Benzyl-4-oxo-6-iodo-3H-quinazoline-2-yl)thioacetyl]-N3-ethylthiosemicarbazide, N-benzoyl-N'-[2-(3-benzyl-4-oxo-6-iodo-3H- quinozolin-2-yl)thioacetyl]hydrazine, and 2-[(3,6-dioxo-pyridazin-4- yl)thio]-3-benzyl-4-oxo-6-iodo-3H-quinazoline proved to be the most active members in this study. They showed MG-MID, GI50 values of 12.8, 11.3, and 13.8 μM, resp. The detailed synthesis and biol. screening data are reported.

IT ***362662-15-5P***
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and antitumor activity of 2-substituted mercapto-3H-quinozoline analogs)
RN 362662-15-5 HCAPLUS
CN Acetic acid, [[3,4-dihydro-6-iodo-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-, hydrazide (9CI) (CA INDEX NAME)



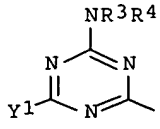
temperature gave 3,4-dihydro- -ethanamine in HCl to room temperature

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 41 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:242160 HCAPLUS Full-text
DOCUMENT NUMBER: 138:271705
TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003024448	A2	20030327	WO 2002-US29017		20020912
WO 2003024448	A3	20031113			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2465978	A1	20030327	CA 2002-2465978		20020912
EP 1429765	A2	20040623	EP 2002-763627		20020912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002012510	A	20040824	BR 2002-12510		20020912
CN 1578663	A	20050209	CN 2002-822690		20020912
JP 2005508905	T	20050407	JP 2003-528544		20020912
JP 3795044	B2	20060712			
JP 2005255683	A	20050922	JP 2005-80310		20050318
AU 2006252047	A1	20070111	AU 2006-252047		20061214
PRIORITY APPLN. INFO.:			US 2001-322402P	P	20010914
			US 2002-391728P	P	20020626
			AU 2002-327627	A3	20020912
			JP 2003-528544	A3	20020912
			WO 2002-US29017	W	20020912

OTHER SOURCE(S): MARPAT 138:271705
GI



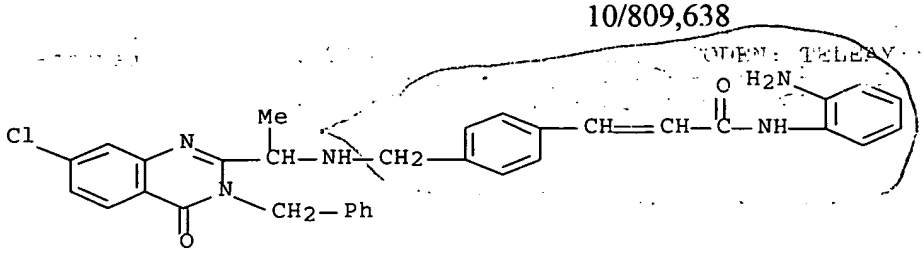
I

AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o- aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6 heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH2-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1). Y2 = chemical bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH2- is replaced with -NH-, and more preferably -NH-CH2), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chemical bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chemical bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)2-, -S(O)2N(R7)-, -N(R7)S(O)2-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH2-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of preparation are not claimed, hundreds of example prepns. are included.

IT ***503041-91-6P***, N-(2-Aminophenyl)-3-(4-(((1-(3-benzyl-7-chloro-3,4-dihydro-4-oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503041-91-6 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

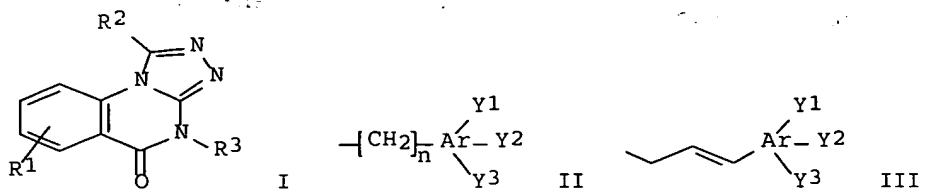


L28 ANSWER 42 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:150617 HCAPLUS Full-text
DOCUMENT NUMBER: 138:187785
TITLE: Preparation of 1-alkyl or 1-cycloalkyltriazolo[4,3-a]quinazolin-5-ones as phosphodiesterase inhibitors
INVENTOR(S): Lavalette, Remi; Gaudilliere, Bernard
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1285922	A1	20030226	EP 2001-402166	20010813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2453647	A1	20030227	CA 2002-2453647	20020626
WO 2003016314	A1	20030227	WO 2002-EP7061	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1419159	A1	20040519	EP 2002-747440	20020626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002011863	A	20040921	BR 2002-11863	20020626
JP 2005502662	T	20050127	JP 2003-521236	20020626
US 2003069260	A1	20030410	US 2002-211134	20020802
US 6747035	B2	20040608		

PRIORITY APPLN. INFO.:	EP 2001-402166	A	20010813
	WO 2002-EP7061	W	20020626

OTHER SOURCE(S): MARPAT 138:187785
GI



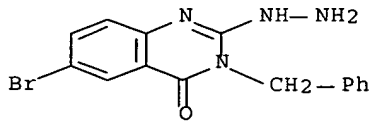
I II III

AB The title compds. [I; R1 = OH, halo, NO2, etc.; R2 = (un)substituted alkyl, X2(cycloalkyl) (wherein X2 = a bond, alkylene); R3 = II, III (n = 1-4; Ar = 5-6 membered aromatic ring containing 0-3 heteroatoms chosen from O, S and N; Y1-Y3 = H, OH, SH, etc.)], useful for the treatment of pathologies in which therapy by a PDE4 inhibitor is relevant, were prepared Thus, hydrogenation of 4-benzyl-1-cyclopentyl-7-(N-methylacetamido)-4H- [1,2,4]triazolo[4,3-a]quinazolin-5-one (preparation given) over Pd/C followed by alkylation of the intermediate with 4-NCC6H4CH2Br afforded I [R1 = 7-(N-methylacetamido); R2 = cyclopentyl; R3 = 4-NCC6H4CH2] which showed IC50 of 1.3 μM against PDE4.

IT *305804-86-8*
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1-alkyl or 1-cycloalkyltriazolo[4,3-a]quinazolin-5-ones as phosphodiesterase inhibitors)

RN 305804-86-8 HCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(phenylmethyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 43 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:940425 HCAPLUS Full-text

DOCUMENT NUMBER: 138:321225

TITLE: Synthesis and anticonvulsant activity of 3-substituted N,N'-dibenzyl-2-[(4-oxo-3,4-dihydroquinazolin-2-yl)thio]malonamides

AUTHOR(S): Georgiyants, V. A.; Kovalenko, S. M.; Sich, I. A.; Drushlyak, O. G.

CORPORATE SOURCE: Nats. Farm. Akad. Ukr., Ukraine

SOURCE: Fiziologichno Aktivni Rechovini (2002), (1), 26-30
CODEN: FARICW

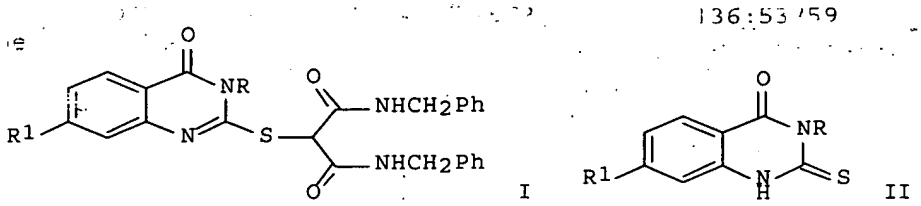
PUBLISHER: Natsional'na Farmatsevtichna Akademiya Ukraini

DOCUMENT TYPE: Journal

LANGUAGE: Ukrainian

OTHER SOURCE(S): CASREACT 138:321225

GI



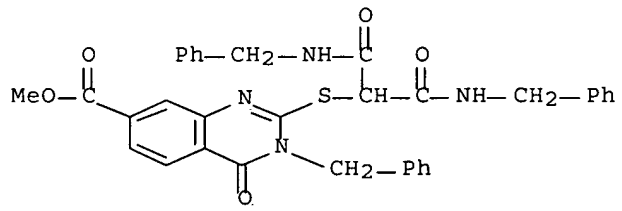
I II

AB Thio-substituted quinazolinones I (R1 = tetrahydrofuran-2-ylmethyl, Ph, pentyl, allyl, benzyl, CH2CH2OMe, etc.; R = H, COOMe, substituted carbamoyl, etc.) were prepared by reaction of thioxoquinazolinones II with 2-bromo-N,N'-dibenzylmalonamide in DMF in the presence of Et3N. Pharmacol. screening, conducted on convulsion models caused by Corazole and elec. current, showed that the presence of two pharmacophores, i.e., quinazolinic and malonamidic, did not enlarge the arithmetic value of the anticonvulsant activity but did increase its spectrum so that nearly all I protected animals from death under both types of convulsive attacks.

IT ***443348-17-2P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anticonvulsant activity of bis(benzylcarbamoyl)methylthio dihydroquinazolinones)

RN 443348-17-2 HCAPLUS

CN 7-Quinazolinecarboxylic acid, 3,4-dihydro-4-oxo-2-[[2-oxo-2-[(phenylmethyl)amino]-1-[[(phenylmethyl)amino]carbonyl]ethyl]thio]-3-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 44 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:603270 HCAPLUS Full-text
DOCUMENT NUMBER: 138:89761
TITLE: New synthetic route to tetracyclic quinazolin-4(3H)-one ring system
AUTHOR(S): Mohanta, Pramod K.; Kim, Kyongtae
CORPORATE SOURCE: School of Chemistry and Molecular Engineering, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Heterocycles (2002), 57(8), 1471-1485
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:89761

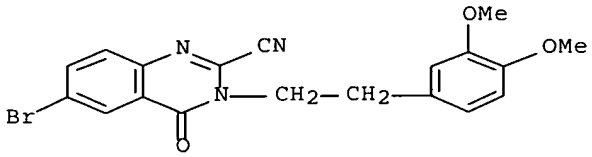
AB The reaction of 2-[(4-chloro-5H-1,2,3-dithiazol-5-ylidene)amino]benzoic acid Me ester derivs. and an analog [i.e., 3-[(4-chloro-5H-1,2,3-dithiazol- 5-ylidene)amino]-2-thiophenecarboxylic acid Me ester] with 3,4-

dimethoxybenzeneethanamine in CH2Cl2 at room temperature gave 3,4-dihydro-3-(3,4-dimethoxyphenethyl)-4-oxoquinazoline-2-carbonitriles and 4-hydroxy-4-phenyl-3,4-dihydroquinazoline-2-carbonitriles, resp. 3,4-Dihydro-3-(3,4-dimethoxyphenethyl)-4-oxoquinazoline-2-carbonitriles on treatment with TFAA/HCl at 120-130°C gave 3-(3,4- dimethoxyphenethyl)quinazoline-2,4(1H,3H)-diones in excellent yields. Quinazolin-4(3H)-ones, quinazoline-2,4(1H,3H)-diones and their thieno analogs as well as 4-hydroxy-3-(3,4-dimethoxyphenethyl)-4-phenyl-3,4- dihydroquinazoline-2-carbonitriles are cyclized in the presence of P2O5/POCl3 in xylene at 130°C to tetracyclic benzazepino[2,3- b]quinazolinones, isoquino[1,2-b]quinazolinones, thienopyrimidinones as well as isoquino[1,2-c]quinazoline-6-carbonitriles, resp., in good yields.

IT *484065-94-3P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthetic route to tetracyclic quinazolin-4(3H)-one ring system)

RN 484065-94-3 HCAPLUS

CN 2-Quinazolinecarbonitrile, 6-bromo-3-[2-(3,4-dimethoxyphenyl)ethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 45 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:524028 HCAPLUS Full-text

DOCUMENT NUMBER: 137:232613

TITLE: The Design and Synthesis of Water-Soluble Analogues of CB30865, a Quinazolin-4-one-Based Antitumor Agent

AUTHOR(S): Bavetsias, V.; Skelton, L. A.; Yafai, F.; Mitchell, F.; Wilson, S. C.; Allan, B.; Jackman, A. L.

CORPORATE SOURCE: Centre for Cancer Therapeutics at The Institute of Cancer Research, Chemistry Department, Cancer Research U.K. Laboratory, Cancer Research U.K., Surrey, SM2 5NG, UK

SOURCE: Journal of Medicinal Chemistry (2002), 45(17), 3692-3702
CODEN: JMCMAR; ISSN: 0022-2623

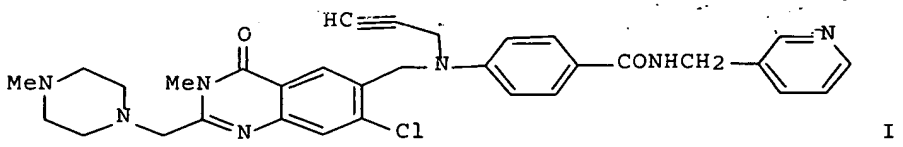
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:232613

GI



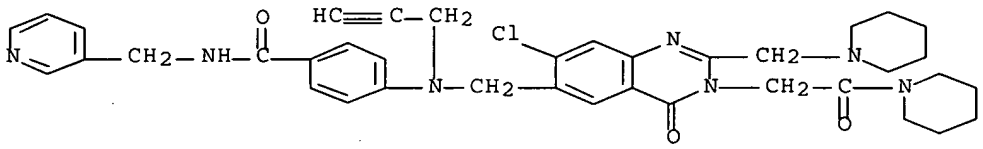
I

AB 4-[N-[7-Bromo-2-methyl-4-oxo-3,4-dihydroquinazolin-6-ylmethyl]-N-(prop-2-ynyl)amino]-N-(3-pyridylmethyl)benzamide (CB30865) is a quinazolin-4-one antitumor agent whose high growth-inhibitory activity (W1L2 IC50 = 2.8 ± 0.50 nM) is believed to have a folate-independent locus of action. In addition, CB30865 represents a class of compds. with unique biochem. characteristics such as a delayed, non-phase specific, cell-cycle arrest. The low aqueous solubility of CB30865 prompted a search for more water-soluble analogs for in vivo evaluation of this class of compds. It was thought that aqueous solubility could be increased by the introduction of amino functionalities at the 2-position of the quinazolin-4-one ring. A variety of compds. were synthesized in a linear fashion starting from 3-chloro-4-methylaniline. Most of these compds. were significantly more water-soluble than CB30865 (636 μM for I at pH 6). In addition, some of them were up to 6-fold more cytotoxic than CB30865 (e.g., for I, W1L2 IC50 = 0.49 ± 0.24 nM) and retained its novel biochem. characteristics.

IT *289715-47-5P*
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyridinylmethylcarbamoylanilinomethylquinazolinones as water-soluble analogs of CB30865)

RN 289715-47-5 HCAPLUS

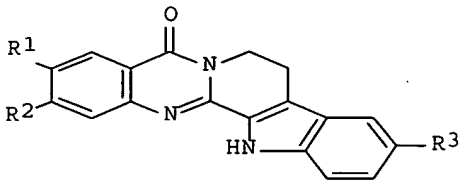
CN Benzamide, 4-[[[7-chloro-3,4-dihydro-4-oxo-3-[2-oxo-2-(1-piperidinyl)ethyl]-2-(1-piperidinylmethyl)-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 46 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:379169 HCAPLUS Full-text
DOCUMENT NUMBER: 137:232799
TITLE: A short synthesis of quinazolinocarboline alkaloids rutaecarpine, hortiacine, euxylophoricine A and euxylophoricine D from methyl N-(4-chloro-5H-1,2,3-dithiazol-5-ylidene)anthranilates
AUTHOR(S): Mohanta, Pramod K.; Kim, Kyongtae
CORPORATE SOURCE: School of Chemistry and Molecular Engineering, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Tetrahedron Letters (2002), 43(22), 3993-3996

CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232799
GI



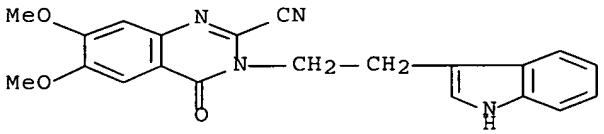
I

AB Reactions of Me N-(4-chloro-5H-1,2,3-dithiazol-5-ylidene)anthranilates with tryptamine at room temperature produced 2-cyano-3-[2-(indol-3-yl)ethyl]-4(3H)-quinazolinones, which underwent cyclization on heating with TFAA/HCl(g) to afford quinazolinocarboline alkaloids rutaecarpine (I; R1 - R3 = H), hortiacine I (R1 - R2 = H; R3 = OMe), euxylophoricine A I (R1 - R2 = OMe; R3 = H) and euxylophoricine D I (R1 - R3 = OMe) in excellent yields.

IT ***459157-66-5P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinocarboline alkaloids)

RN 459157-66-5 HCAPLUS

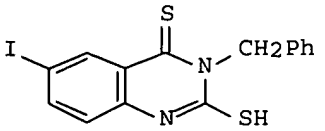
CN 2-Quinazolinecarbonitrile, 3,4-dihydro-3-[2-(1H-indol-3-yl)ethyl]-6,7-dimethoxy-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 47 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:68708 HCAPLUS Full-text
DOCUMENT NUMBER: 137:294921
TITLE: Substituted quinazolines, 1. Synthesis and antitumor activity of certain substituted 2-mercapto-4(3H)-quinazolinone analogs
AUTHOR(S): Abdel Hamid, S. G.; El-Obeid, H. A.; Al-Rashood, K. A.; Khalil, A. A.; El-Subbagh, H. I.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia
SOURCE: Scientia Pharmaceutica (2001), 69(4), 351-366
CODEN: SCPHA4; ISSN: 0036-8709

PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:294921
GI



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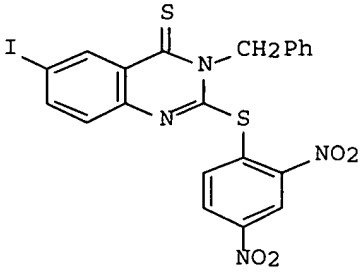
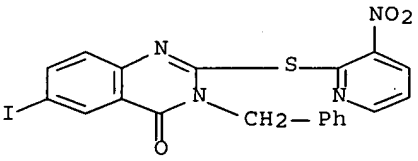


II

AB A new series of 4(3H)-quinazolinone analogs bearing 6-iodo and 2-thioether functions, e.g., I, were synthesized and screened for their in vitro antitumor activity. Eight compds. were identified as active anticancer agents. I and quinazolinone II proved to be the most active compds. in this study. They showed MG-MID GI50, TGI, LC50 values of 3.9, 25.2, 82.3 and 2.7, 12.3, 38.7 μM, resp. The detailed synthesis and biol. screening data are reported.

IT *362662-14-4*
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antitumor activity of mercaptoquinazolinones via derivation
of thiol moiety in mercaptobenzyliodoquinazolinone)
RN 362662-14-4 HCAPLUS
CN 4(3H)-Quinazolinone, 6-iodo-2-[(3-nitro-2-pyridinyl)thio]-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 48 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:935583 HCAPLUS Full-text

DOCUMENT NUMBER: 136:53759
TITLE: Preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.; Chabala, John C.; Morgans, David J., Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001098278	A1	20011227	WO 2001-US13901		20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6545004	B1	20030408	US 2000-699047		20001024
JP 2003048881	A	20030221	JP 2002-156766		20001026
EP 1686120	A2	20060802	EP 2006-75681		20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY					
US 6562831	B1	20030513	US 2000-724644		20001128
US 6630479	B1	20031007	US 2000-724713		20001128
US 6831085	B1	20041214	US 2000-724941		20001128
US 7105668	B1	20060912	US 2000-724897		20001128
CA 2413426	A1	20011227	CA 2001-2413426		20010427
EP 1296959	A1	20030402	EP 2001-932769		20010427
EP 1296959	B1	20060419			
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BR 2001011898	A	20030513	BR 2001-11898		20010427
CN 1437585	A	20030820	CN 2001-811582		20010427
HU 200301201	A2	20031229	HU 2003-1201		20010427
JP 2004501140	T	20040115	JP 2002-504234		20010427
NZ 523233	A	20041029	NZ 2001-523233		20010427
AT 323684	T	20060515	AT 2001-932769		20010427
PT 1296959	T	20060731	PT 2001-932769		20010427
CN 1824656	A	20060830	CN 2005-10119288		20010427
EP 1707563	A2	20061004	EP 2006-75276		20010427
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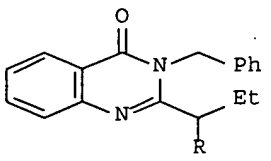
ZA 2002010133	A	20030617	ZA 2002-10133		20021213
NO 2002006172	A	20030220	NO 2002-6172		20021220
US 2004023996	A1	20040205	US 2003-312323		20030815
HK 1053837	A1	20060623	HK 2003-106128		20030826
US 2004254203	A1	20041216	US 2004-893929		20040720
US 2005187232	A1	20050825	US 2005-84787		20050321
AU 2006236024	A1	20061207	AU 2006-236024		20061116
PRIORITY APPLN. INFO.:			US 2000-213104P	P	20000621
			US 2000-699047	A	20001024

US	1999-198253P	P 19991027
EP	2000-976656	A3 20001026
JP	2001-533122	A3 20001026
US	2000-724778	A3 20001128
US	2000-724941	A3 20001128
CN	2001-811582	A3 20010427
EP	2001-932769	A3 20010427
WO	2001-US13901	W 20010427

OTHER SOURCE(S): MARPAT 136:53759

GI



II

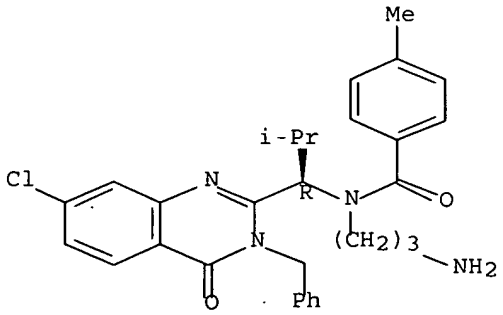
AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepared Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F- 4)CH2CH2NMe2]. Data for biol. activity of I were given.

IT *336113-53-2P*
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

RN 336113-53-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



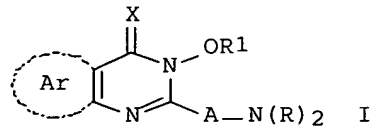
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 49 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:713346 HCAPLUS Full-text
DOCUMENT NUMBER: 135:257265
TITLE: Synthesis and leukotriene and histamine inhibiting activity of N-hydroxyquinazolines for the treatment of asthma and allergy
INVENTOR(S): Gao, Yun; Rubin, Paul; Xiaoyi, Nie; Zepp, Charles
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070737	A2	20010927	WO 2001-US8726	20010320
WO 2001070737	A3	20020131		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002082268	A1	20020627	US 2001-813096	20010320
PRIORITY APPLN. INFO.:			US 2000-190620P P	20000320
OTHER SOURCE(S):	MARPAT 135:257265			

GI



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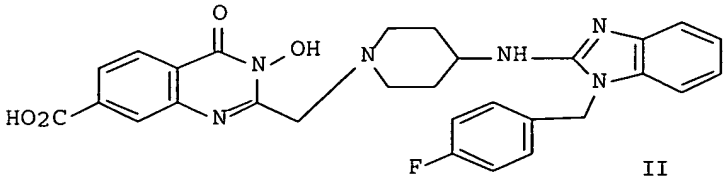


II

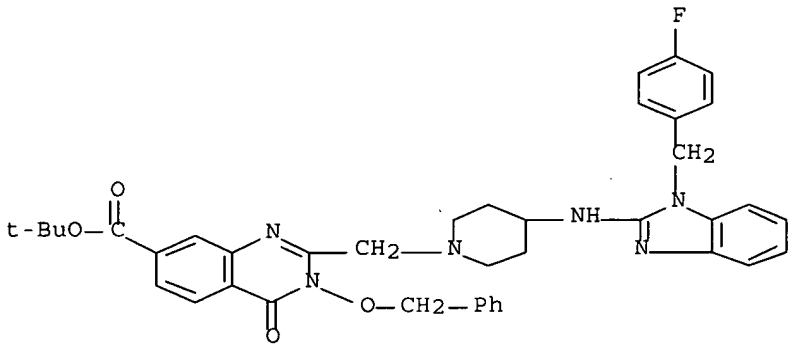
AB The present invention relates to synthesis of N-hydroxyquinazolines (I) [X = O, S; R1 = H or physiol. cleavable group; A = null, CH2, CH=CH, C.tplbond.C, NH; Ar = (un)substituted aryl or heteroaryl ring; N(R)2 = (un)substituted carbocycle, heterocycle, aryl or heteroaryl ring] capable of inhibiting

leukotriene activity and histamine activity, and their use in treating asthma and allergic conditions such as hay fever, dermatitis, and urticaria. Thus, II was prepared in 10 steps from di-Me nitroterephthalate by saponification, esterification, saponification, nitro reduction, cyclocondensation, aminolysis, cyclocondensation with chloroacetyl chloride, reaction with norastemizole, debenzylation and saponification II shows an IC50 of <1 uM in binding assay to H1 receptor. Inhibition of both pathways permits more effective treatment of conditions with fewer side effects than can be achieved using most available antihistamines alone.

IT *362470-05-1P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and leukotriene and histamine inhibiting activity of N-hydroxyquinazolines for the treatment of asthma and allergy)

RN 362470-05-1 HCAPLUS

CN 7-Quinazolinecarboxylic acid, 2-[[4-[[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]methyl]-3,4-dihydro-4-oxo-3-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 50 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:501539 HCAPLUS Full-text

DOCUMENT NUMBER: 135:272932

TITLE: Synthesis and anticonvulsant activity of some new 4-Oxo-3H-quinazoline analogs

AUTHOR(S): Abdel Hamid, Sami G.; El-Obeid, Humeida A.; Al-Majed, Abdelrahman A.; El-Kashef, Hassan A.; El-Subbagh, Hussein I.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia

SOURCE: Medicinal Chemistry Research (2001), 10(6), 378-389
CODEN: MCREEB; ISSN: 1054-2523

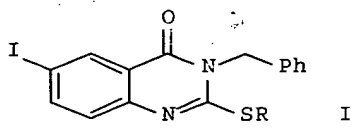
PUBLISHER: Birkhaeuser Boston

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:272932

GI



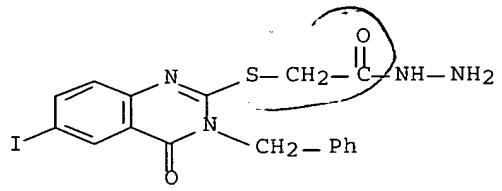
I

AB A new series of 3-benzyl-4-oxo-6-iodo-3H-quinazoline derivs. was synthesized and evaluated for their anticonvulsant activity adopting various screening models. Quinazoline I (R = CH2CO2H) (ED50 73.1 mg/kg) showed a 100% protection against PTZ-induced clonic convulsions with a wide safety margin compared to valproate (ED50 102 mg/kg). Also, compds. I (R = 2-O2NC6H4, CH2CONHR1, CH2CONHCH2CH2OH, CH2CONHR2, R1 = phthalimido, R2 = 3,4-dichloromaleimido) showed 83.3% protection. Meanwhile, compds. I (R = CH2CO2H, 2-O2NC6H4, CH2CONHR1, R1 = phthalimido) proved to be GABA-mimetic agents.

IT *362662-15-5P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and anticonvulsant activity of oxoquinazoline analogs)

RN 362662-15-5 HCAPLUS

CN Acetic acid, [[3,4-dihydro-6-iodo-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-, hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 51 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:319882 HCAPLUS Full-text
DOCUMENT NUMBER: 134:326543
TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026

WO 2001030768 A9 20020815 20010426

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2388646	A1	20010503	CA 2000-2388646	20001026
BR 2000015110	A	20020702	BR 2000-15110	20001026
EP 1226129	A1	20020731	EP 2000-976656	20001026
EP 1226129	B1	20060524		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2003048881	A	20030221	JP 2002-156766	20001026
JP 2003512461	T	20030402	JP 2001-533122	20001026
HU 200203430	A2	20030528	HU 2002-3430	20001026
NZ 518480	A	20040227	NZ 2000-518480	20001026
AU 774748	B2	20040708	AU 2001-14398	20001026
AT 327224	T	20060615	AT 2000-976656	20001026
EP 1686120	A2	20060802	EP 2006-75681	20001026

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US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
US 6831085	B1	20041214	US 2000-724941	20001128
US 7105668	B1	20060912	US 2000-724897	20001128
CN 1824656	A	20060830	CN 2005-10119288	20010427
EP 1707563	A2	20061004	EP 2006-75276	20010427

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR

ZA 2002002930	A	20021028	ZA 2002-2930	20020415
IN 2002CN00486	A	20050311	IN 2002-CN486	20020418
NO 2002001907	A	20020607	NO 2002-1907	20020423
NO 322825	B1	20061211		
HK 1045994	A1	20060811	HK 2002-107382	20021009
ZA 2002010133	A	20030617	ZA 2002-10133	20021213
NZ 530074	A	20050324	NZ 2003-530074	20031210
US 2004254203	A1	20041216	US 2004-893929	20040720
AU 2004218601	A1	20041028	AU 2004-218601	20041004
US 2005187232	A1	20050825	US 2005-84787	20050321

PRIORITY APPLN. INFO.:	US 1999-198253P	P	19991027
	US 2000-213104P	P	20000621
	US 2000-699047	A1	20001024
	EP 2000-976656	A3	20001026
	JP 2001-533122	A3	20001026
	WO 2000-US29585	W	20001026
	US 2000-724778	A3	20001128
	US 2000-724941	A3	20001128
	CN 2001-811582	A3	20010427
	EP 2001-932769	A3	20010427

OTHER SOURCE(S): MARPAT 134:326543

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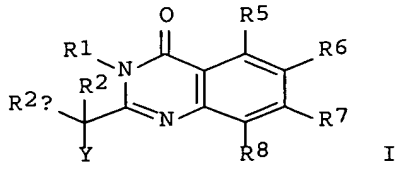

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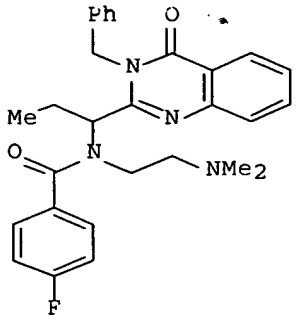


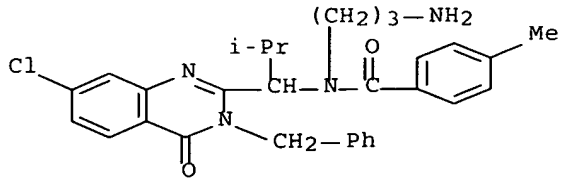
II

AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepared by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addition of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

IT *336115-13-0P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336115-13-0 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 52 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:790502 HCAPLUS Full-text
DOCUMENT NUMBER: 133:350240
TITLE: 1-Aminotriazolo[4,3-a]quinazolin-5-ones and -5-thiones inhibiting phosphodiesterase IV
INVENTOR(S): Gaudilliere, Bernard; Lavalette, Remi; Andrianjara, Charles; Breuzard, Francine
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066584	A1	20001109	WO 2000-FR1174	20000428
W: AE, AG, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2792938	A1	20001103	FR 1999-5398	19990428
FR 2792938	B1	20010706		
CA 2388658	A1	20001109	CA 2000-2388658	20000428
BR 2000010072	A	20020205	BR 2000-10072	20000428
EP 1177195	A1	20020206	EP 2000-967407	20000428
EP 1177195	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543199	T	20021217	JP 2000-615614	20000428
TR 200103099	T2	20021223	TR 2001-3099	20000428
HU 200202656	A2	20021228	HU 2002-2656	20000428
EE 200100566	A	20030217	EE 2001-566	20000428
AT 234840	T	20030415	AT 2000-967407	20000428
PT 1177195	T	20030731	PT 2000-967407	20000428
ES 2194779	T3	20031201	ES 2000-967407	20000428
IN 2001MN01303	A	20050304	IN 2001-MN1303	20011015
BG 106026	A	20020531	BG 2001-106026	20011018
US 6828315	B1	20041207	US 2001-980540	20011025
NO 2001005235	A	20011221	NO 2001-5235	20011026
ZA 2001008847	A	20020910	ZA 2001-8847	20011026
HR 2001000794	A1	20030430	HR 2001-794	20011026
HR 20010794	B1	20040630		
HK 1044938	A1	20031224	HK 2002-105712	20020825
PRIORITY APPLN. INFO.:			FR 1999-5398 A	19990428
			WO 2000-FR1174 W	20000428

OTHER SOURCE(S): MARPAT 133:350240
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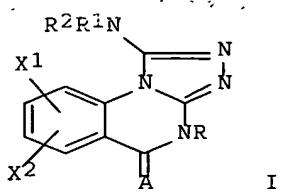

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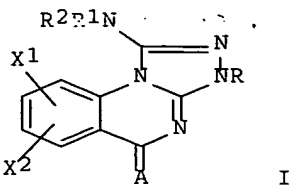


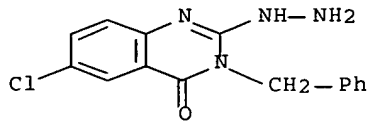
II

AB Triazolo[4,3-a]quinazolin-5-ones and -5-thiones I and II [A1 = O, S; X1, X2 = H, OH, halogen, amino, NO2, SH, CN, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, (un)substituted CO2H; R = (un)substituted alkyl, alkenyl, alkynyl, pyridylalkyl; R1, R2 = alkyl, aralkyl, cycloalkyl, cycloalkylalkyl; NR1R2 = heterocyclic] were prepared for use as inhibitors of phosphodiesterase IV. Thus, I [A = O, R = (E)-cinnamyl, X1 = 7-Cl, X2 = H, NR1R2 = perhydroazepino, III] was obtained together with II [A = O, R = (E)-cinnamyl, X1 = 7-Cl, X2 = H, NR1R2 = perhydroazepino] by treating I [A = O, R = H, X1 = 7-Cl, X2 = H, NR1R2 = perhydroazepino] with (E)-cinnamyl bromide. III had an IC50 for PDE-4 inhibition of 0.054 μM.

IT *305805-18-9*
RL: RCT (Reactant); RACT (Reactant or reagent)
(1-aminotriazolo[4,3-a]quinazolin-5-ones and -5-thiones inhibiting phosphodiesterase IV)

RN 305805-18-9 HCAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-(phenylmethyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 53 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:666928 HCAPLUS Full-text
DOCUMENT NUMBER: 133:261508
TITLE: Screening of antiviral compounds targeted to the HIV-1 gp41 core structure
INVENTOR(S): Jiang, Shibo; Debnath, Asim K.
PATENT ASSIGNEE(S): New York Blood Center, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055377	A1	20000921	WO 2000-US6771	20000315

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

M: CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6596497	B1	20030722	US 2000-525874	20000314
CA 2362532	A1	20000921	CA 2000-2362532	20000315
EP 1161564	A1	20011212	EP 2000-917952	20000315

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:	US 1999-124907P	P 19990317
	US 2000-525874	A 20000314
	WO 2000-US6771	W 20000315

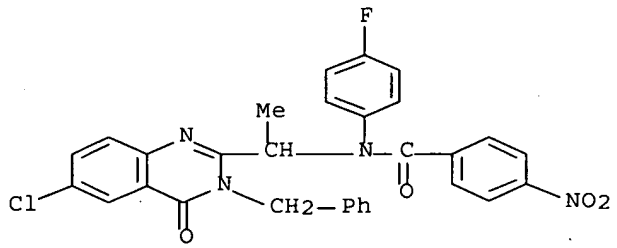
OTHER SOURCE(S): MARPAT 133:261508

AB A method for the screening of antiviral compds. targeted to the HIV-1 gp41 core structure comprises capturing polyclonal antibodies from an animal other than a mouse directed against a trimer of a heterodimer containing an N-peptide and a C-peptide onto a solid-phase, mixing a compound to be tested with an N-peptide and then adding a C-peptide, adding the resultant mixture to the resultant polyclonal antibody-coated solid-phase and then removing unbound peptides and unbound compound, adding a monoclonal antibody directed against the trimer of a heterodimer containing an N-peptide and a C-peptide and measuring the antibody binding of the monoclonal antibody. A method for inhibiting HIV-1 virus replication or infectivity in a patient involves administering to the patient an antiviral compound targeted to the HIV-1 gp41 core structure selected from the group consisting of 7-[6-phenylamino-4[4-[(3,5-disulfo-8-hydroxynaphthyl)azo]-2-methoxy-5- methyl-phenylamino]-1,3,5-triazine-2-yl]-4-hydroxy-3-[(2-methoxy-5- sulfophenyl)azo]-2-naphthalene sulfonic acid and 5-[(4-chloro-6- phenylamino-1,3,5-triazine-2-yl)-aminol]-4-hydroxy-3-[(4-methyl-5- sulfophenyl)azo]-2,7-naphthalene disulfonic acid.

IT *294844-30-7*
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(screening of antiviral compds. targeted to HIV-1 gp41 core structure)

RN 294844-30-7 HCAPLUS

CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)



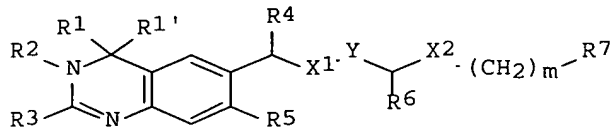
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 54 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:608742 HCAPLUS Full-text
DOCUMENT NUMBER: 133:207917
TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity
INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2364708	A1	20000831	CA 2000-2364708	20000224
AU 2000026838	A	20000914	AU 2000-26838	20000224
AU 772670	B2	20040506		
EP 1155012	A1	20011121	EP 2000-905212	20000224
EP 1155012	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002537391	T	20021105	JP 2000-600998	20000224
AT 264322	T	20040415	AT 2000-905212	20000224
ES 2219308	T3	20041201	ES 2000-905212	20000224
US 6699861	B1	20040302	US 2001-914010	20011019

PRIORITY APPLN. INFO.: GB 1999-4275 A 19990224
WO 2000-GB655 W 20000224
OTHER SOURCE(S): MARPAT 133:207917
GI



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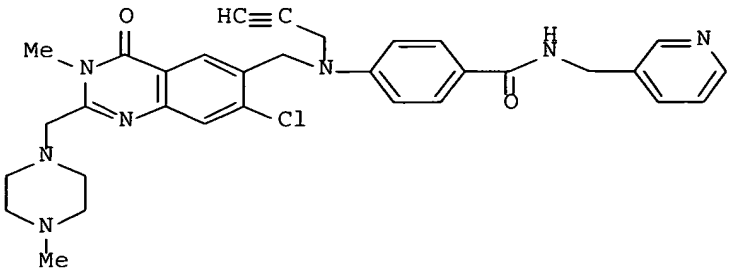


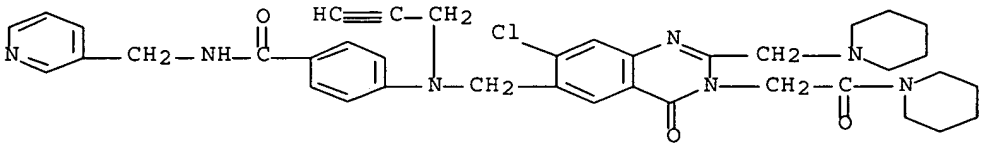
II

AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)pA; p = 1-4; A = 5- or 6-membered N-containing heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically acceptable salts thereof, were prepared for the treatment or prevention of cancer. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop- 2-ynyl)amino]benzoate tert-Bu ester (multi-step preparation given) with TFA in CH2Cl2, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP® in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W1l2:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addition, II demonstrated antitumor activity against CH1 ovarian and HT29 colon cancer cells in nude mice at doses that were tolerated.

IT ***289715-47-5P***, CB 300938
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; preparation of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-47-5 HCAPLUS

CN Benzamide, 4-[[[7-chloro-3,4-dihydro-4-oxo-3-[2-oxo-2-(1-piperidinyl)ethyl]-2-(1-piperidinylmethyl)-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

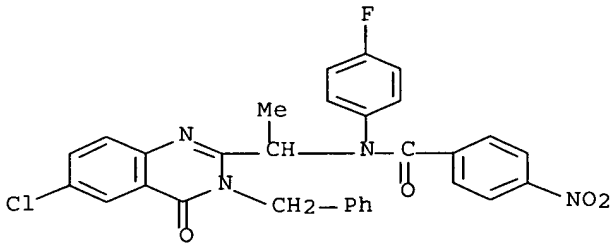
L28 ANSWER 55 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:499893 HCAPLUS Full-text
DOCUMENT NUMBER: 131:266552
TITLE: Structure-Based Identification of Small Molecule Antiviral Compounds Targeted to the gp41 Core Structure of the Human Immunodeficiency Virus Type 1
AUTHOR(S): Debnath, Asim Kumar; Radigan, Lin; Jiang, Shibo

CORPORATE SOURCE: Lindsley F. Kimball Research Institute, The New York Blood Center, New York, NY, 10021, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3203-3209
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Recent X-ray crystallog. determination of the HIV-1 envelope glycoprotein gp41 core structure opened up a new avenue to discover antiviral agents for chemotherapy of HIV-1 infection and AIDS. A systematic study has been undertaken to search for anti-HIV-1 lead compds. targeted to gp41. Using mol. docking techniques to screen a database of 20,000 organic mols., 16 compds. were found with the best fit for docking into the hydrophobic cavity within the gp41 core and with maximum possible interactions with the target site. Further testing of these compds. by an ELISA and virus inhibition assays discerned two compds. (ADS-J1 and ADS-J2) having inhibitory activity at micromolar concns. on the formation of the gp41 core structure and on HIV-1 infection. These two compds. will be used as leads to design more effective HIV-1 inhibitors targeted to the HIV-1 gp41 core structure.

IT *294844-30-7*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-based identification of small mol. antiviral compds. targeted to gp41 core structure of HIV-1)

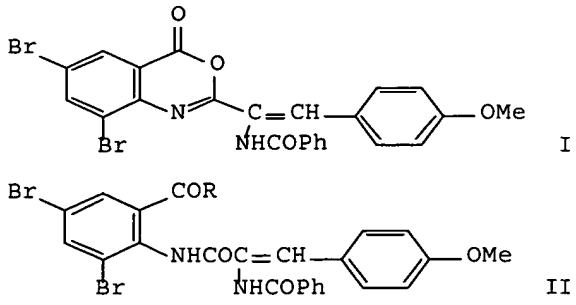
RN 294844-30-7 HCAPLUS
CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 56 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:128868 HCAPLUS Full-text
DOCUMENT NUMBER: 116:128868
TITLE: Steric and polar factors involving heteroring opening of 2-(α-benzoylamino-p-methoxystyryl)-6,8-dibromo-3,1-benzoxazin-4(H)-one
AUTHOR(S): Elkafrawy, A. F.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Abbassia, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1992), 31B(1), 19-23
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



I

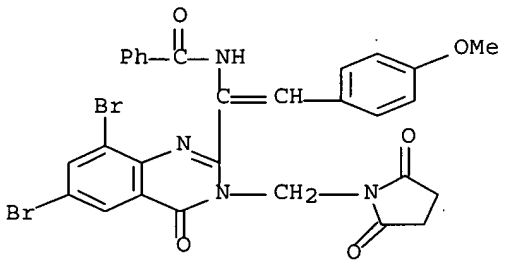
II

AB Dibromobenzoxazinone I was prepared by reacting 4-(p-methoxybenzylidene)-2-phenyloxazol-5-one with 3,5-dibromoanthranilic acid in HOAc followed by cyclization in Ac2O. Reactions of I with amines, MeCOCH2CO2Et, NaN3, P2S5, MeCO2NH4, and maleic anhydride were studied. Hydrazinolysis of I with H2NNH2 and PhNHNH2 gave dibromoanthranilic acid hydrazides II (R = NHNHR1, R1 = H, Ph). Reacting I with P2S5 gave the thione.

IT *139221-86-6P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 139221-86-6 HCAPLUS

CN Benzamide, N-[1-[6,8-dibromo-3-[(2,5-dioxo-1-pyrrolidinyl)methyl]-3,4-dihydro-4-oxo-2-quinazolinyl]-2-(4-methoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 57 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:679945 HCAPLUS Full-text

DOCUMENT NUMBER: 115:279945

TITLE: New quinazolone congeners

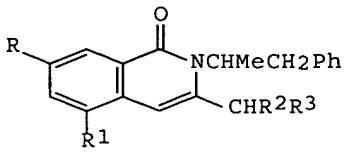
AUTHOR(S): Saxena, Sushma; Bhalla, M.; Verma, M.; Saxena, A. K.; Shanker, K.

CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India

SOURCE: Journal of the Indian Chemical Society (1991), 68(3), 142-3
CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English
GI



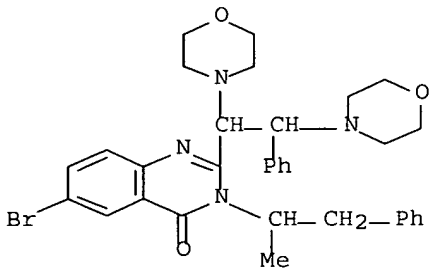
I

AB Quinazolinone derivs. I (R = R1 = H, Br, R2R3 = CHPh; R = Br, iodo, R1 = H, R2R3 = CHPh; R = R1 = H, Br, R2 = H, R3 = Br; R = Br, iodo, R1 = H, R2 = H, R3 = Br) were prepared by condensation of I (R2 = R3 = H) with PhCHO or bromination of I (R2 = R3 = H). These compds. were further brominated and aminated with arylamines.

IT *137610-42-5P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 137610-42-5 HCAPLUS

CN 4(3H)-Quinazolinone, 6-bromo-2-(1,2-di-4-morpholinyl-2-phenylethyl)-3-(1-methyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 58 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:207181 HCAPLUS Full-text

DOCUMENT NUMBER: 114:207181

TITLE: Synthesis and some reactions of 2-[α-(benzoylamino)styryl]-6,8-dibromo-3,1-benzoxazin-4(H)-one, quinazolin-4(3H)-one, and chloroquinazoline derivatives with some nucleophilic reagents

AUTHOR(S): El-Nagdy, S.

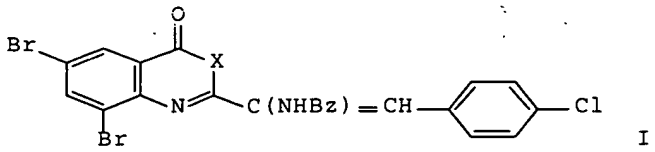
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Abbassia, Egypt

SOURCE: Asian Journal of Chemistry (1990), 2(4), 368-78
CODEN: AJCHEW; ISSN: 0970-7077

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



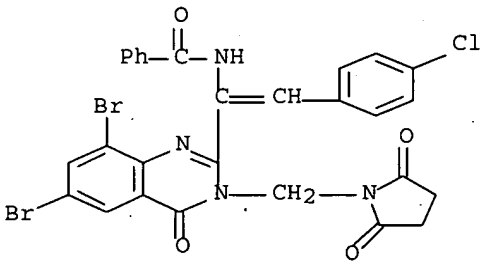
I

AB The title compds. were preparation and their reactions were investigated. Thus, 3,5-dibromoanthranilic acid was treated with 4-(p-chlorobenzylidene)- 2-phenyloxazol-5-one and the product cyclized by Ac2O to give the benzoxazinone I (X = O). I (X = O) was treated with NH4OAc to give I (X = NH). I (X = O) and NH2NH2 gave 2,4,6-Br2(H2NNHCO)C6H2NHCOC(NHBz):CHC6H4Cl- p.

IT *133615-88-0P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 133615-88-0 HCAPLUS

CN Benzamide, N-[2-(4-chlorophenyl)-1-[6,8-dibromo-3-[(2,5-dioxo-1-pyrrolidinyl)methyl]-3,4-dihydro-4-oxo-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 59 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:630930 HCAPLUS Full-text

DOCUMENT NUMBER: 109:230930

TITLE: Thiazolidinones, azetidinones, and formazans of quinazolinones

AUTHOR(S): Gupta, D. P.; Shanker, K.

CORPORATE SOURCE: Dep. Pharmacol. Ther., K. G's Med. Coll., Lucknow, 226 003, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1987), 26B(12), 1197-9
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:230930

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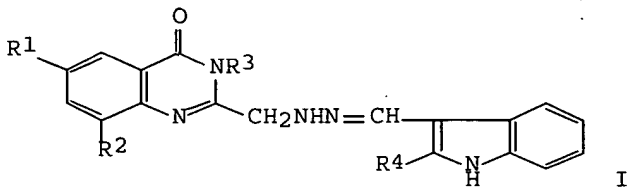

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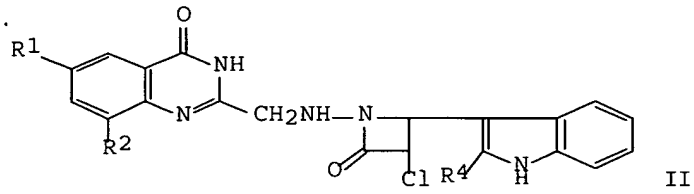


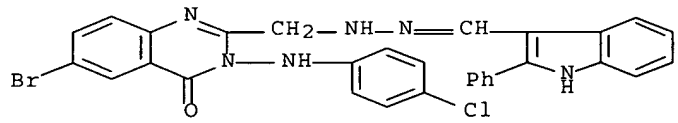
II

AB Hydrazones I (R1 and R2 are H, iodo, Br; R3 = ClC6H4, tolyl, ClC6H4NH, PhNH; R4 = Ph, tolyl) were treated with ClCH2COCl and Et3N to give azetidine derivs. II. 3-[(Quinazolinylmethyl)amino]thiazolidin-4-ones were obtained from I and HSCH2CO2H. I and aromatic diazonium salts gave formazans.

IT *117664-15-0*
RL: RCT (Reactant); RACT (Reactant or reagent)
(cycloaddn.-cyclocondensation reaction of, with mercaptoacetic acid)

RN 117664-15-0 HCAPLUS

CN 1H-Indole-3-carboxaldehyde, 2-phenyl-, [[6-bromo-3-[(4-chlorophenyl)amino]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]hydrazone (9CI) (CA INDEX NAME)



L28 ANSWER 60 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:628515 HCAPLUS Full-text

DOCUMENT NUMBER: 107:228515

TITLE: Studies of 4(3H)-quinazolinone derivatives as antimalarials

AUTHOR(S): Lakhan, Ram; Singh, Om Prakash; Singh, R. L.

CORPORATE SOURCE: Fac. Sci., Banaras Hindu Univ., Varanasi, 221 005, India

SOURCE: Journal of the Indian Chemical Society (1987), 64(5), 316-18
CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:228515

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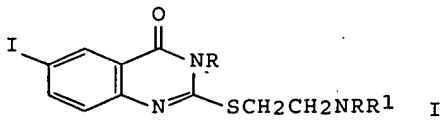


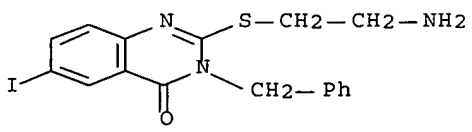
I

AB 4(3H)-Quinazolinones [I, R = Me, Et or benzyl, R1 = H, Et, iso-Pr, or Ph; R2 = H, Et, iso-Pr or Me and R1R2 = (CH2)5] were prepared by the alkylation of Na salts of the corresponding 2-thio-3-alkyl(aryl)-6-iodo-4(3H)- quinazolinones with the appropriate 2-(N-substituted or N,N-disubstituted amino)ethyl bromide-HBr salts. I were screened for antimalarial activity in mice infected with Plasmodium berghei, and found inactive at 1 quinine equivalent of the dosage.

IT *111631-21-1P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antimalarial)

RN 111631-21-1 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[(2-aminoethyl)thio]-6-iodo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 61 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:582338 HCAPLUS Full-text

DOCUMENT NUMBER: 97:182338

TITLE: Synthesis and antimicrobial activity of substituted 4(3H)-quinazolones: (II)

AUTHOR(S): Misra, Hemant K.; Sen Gupta, Anil K.

CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, 226 007, India

SOURCE: European Journal of Medicinal Chemistry (1982), 17(3), 216-18
CODEN: EJMCA5; ISSN: 0009-4374

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 97:182338

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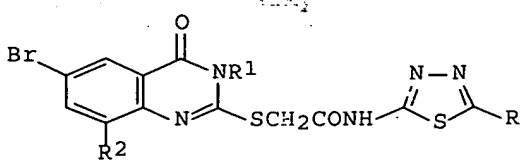

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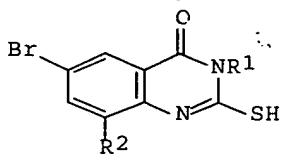

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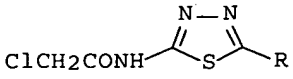


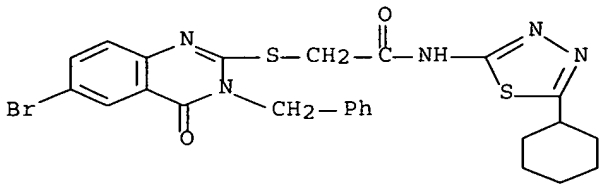
III

AB The quinazolinones I [R = cyclohexyl, 2-cyclohexylethyl; R1 = (un)substituted Ph, PhCH2, cyclohexyl; R2 = H, Br] were prepared by treating the mercaptoquinazolines II with the thiadiazolylchloroacetamides III. The bactericidal and fungicidal activity of I was evaluated against several test organisms. The presence of R1 = p-MeOC6H4 and PhCH2 enhanced the fungicidal activity of I.

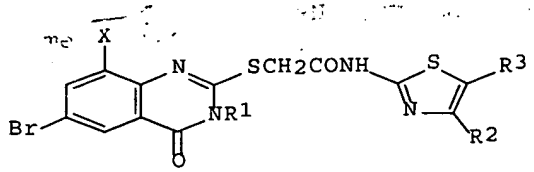
IT *83390-32-3P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, bactericidal, and fungicidal activity of)

RN 83390-32-3 HCAPLUS

CN Acetamide, 2-[[6-bromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N-(5-cyclohexyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



L28 ANSWER 62 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:467748 HCAPLUS Full-text
DOCUMENT NUMBER: 97:67748
TITLE: Synthesis and pesticidal activities of some new substituted 3H-quinazolin-4-one derivatives. Part XVIII
AUTHOR(S): Misra, Hemant K.; Sen Gupta, Anil K.
CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, 226007, India
SOURCE: Pesticide Science (1982), 13(2), 177-82
CODEN: PSSCBG; ISSN: 0031-613X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:67748
GI



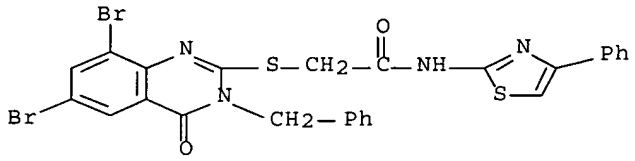
I

AB The synthesis of 20 substituted 3H-quinazolin-4-one derivs. (I; X = H or Br; R1 = benzyl, cyclohexyl, 4-methoxyphenyl, o-tolyl, or p-tolyl; R2 = Ph or 4-chlorophenyl; and R3 = H or Me) is described, and their antibacterial, anti-acetylcholinesterase [9000-81-1], and insecticidal activities were determined and related to their structure.

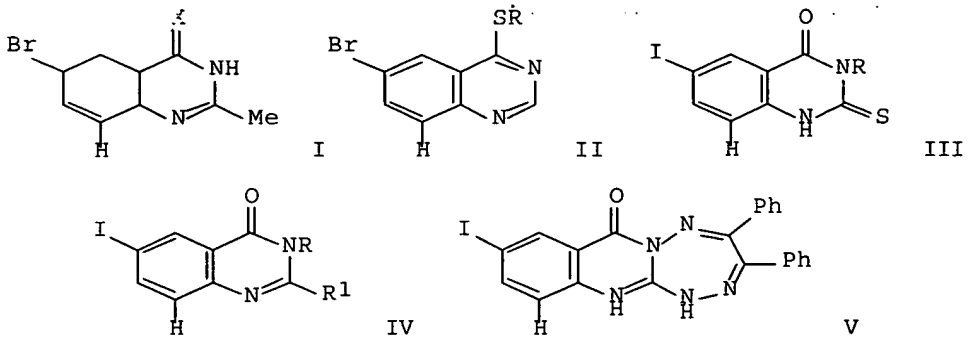
IT *82632-68-6P*
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and pesticidal activities of, structure-activity in relation to)

RN 82632-68-6 HCAPLUS

CN Acetamide, 2-[[6,8-dibromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 63 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:407238 HCAPLUS Full-text
DOCUMENT NUMBER: 95:7238
TITLE: Studies on thioquinazolinones and synthesis of 9-iodo-3,4-diphenyl [1,2,4,5]tetrazepino[3,2-b]quinazolin-7(1H)-one
AUTHOR(S): Chaurasia, M. R.; Sharma, Surendra K.
CORPORATE SOURCE: Dep. Chem., D.A.V. Coll., Dehra Dun, India
SOURCE: Heterocycles (1981), 16(4), 621-9
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:7238
GI

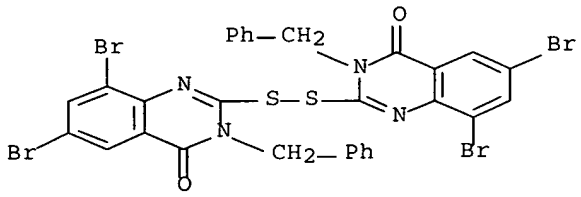


AB Sulfuration of quinazolinone I (X = O) by P2S5 gave 81% I (X = S), which was treated with 1-(chloroacetyl)piperidine and Br(CH2)2NEt2 to give 85% II (R = piperidinocarbonylmethyl) and 76% II [R = (CH2)2NEt2], resp. Hydrolysis of II gave I (X = O). Treating III (R = PhCH2) with MeI in alc. NaOH gave 61% IV (R = Me, R1 = MeS) which was refluxed with N2H4 to give 78% IV (R = NH2, R1 = NHNH2). The latter was cyclocondensed with benzil to give 81% V.

IT *77931-05-6P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77931-05-6 HCAPLUS

CN 4(3H)-Quinazolinone, 2,2'-dithiobis[6,8-dibromo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 64 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981:139743 HCAPLUS Full-text

DOCUMENT NUMBER: 94:139743

TITLE: Synthesis and evaluation of substituted quinazolone derivatives for antibacterial, antifungal, and antiacetylcholinesterase activities

AUTHOR(S): Gupta, Anil K. Sen; Misra, Hemant K.

CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, 226007, India

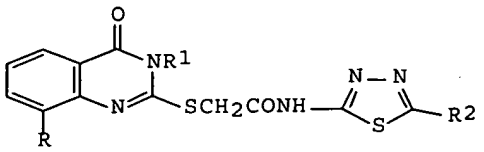
SOURCE: Journal of Pharmaceutical Sciences (1980), 69(11), 1313-17
CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:139743

GI



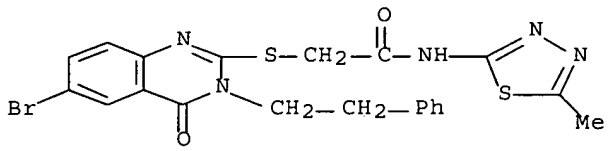
I

AB The thiadiazolylcarbamoylmethylthioquinazolones I (R = H, Br; R1 = PhCH2, o-EtC6H4, cyclohexyl, p-MeOC6H4; R2 = Me, Et, Pr) were prepared by reaction of the corresponding quinazoline with the (chloroacetamido)thiadiazole. I were screened for antibacterial, antifungal, and antiacetylcholinesterase activities in vitro. Most of the compds. exhibited significant biol. activity. The relation between their biol. activity and chemical structure was studied.

IT *77094-47-4P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal and fungicidal activity of)

RN 77094-47-4 HCAPLUS

CN Acetamide, 2-[[6-bromo-3,4-dihydro-4-oxo-3-(2-phenylethyl)-2-quinazolinyl]thio]-N-(5-methyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



L28 ANSWER 65 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:216 HCAPLUS Full-text

DOCUMENT NUMBER: 92:216

TITLE: Monoamine oxidase inhibitory activity of 4(3H)-quinazolinones of dopamine

AUTHOR(S): Ahmad, Shakeel; Satsangi, R. K.

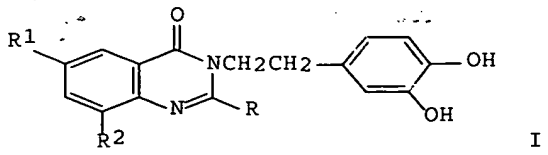
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India

SOURCE: Indian Journal of Pharmaceutical Sciences (1979), 41(3), 126-7
CODEN: IJSIDW; ISSN: 0250-474X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



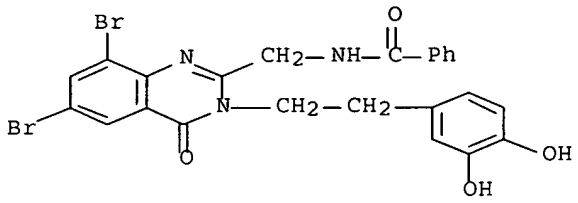
I

AB The title compds. I (R = Ph, PhCH:CH, or benzamidomethyl; R1 = H, Br or Cl; R2 = H, Br, Cl, or I) were evaluated for monoamine oxidase [9001-66-5] inhibiting activity in vitro. The dibromo derivative was more inhibiting than the mono derivative Structure-activity relations are discussed.

IT *68501-53-1*
RL: BIOL (Biological study)
(as monoamine oxidase inhibitor)

RN 68501-53-1 HCAPLUS

CN Benzamide, N-[[6,8-dibromo-3-[2-(3,4-dihydroxyphenyl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 66 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1979:6338 HCAPLUS Full-text

DOCUMENT NUMBER: 90:6338

TITLE: Synthesis and central nervous systems activity of 2-aryl-3(3',4'-dihydroxyphenylethyl)-6,8-substituted 4(3H)-quinazolinones

AUTHOR(S): Tiwari, S. S.; Satsangi, R. K.; Misra, Shobha

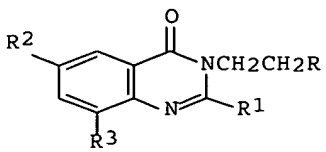
CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, India

SOURCE: Indian Journal of Pharmaceutical Sciences.(1978), 40(2), 40-3
CODEN: IJSIDW; ISSN: 0250-474X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



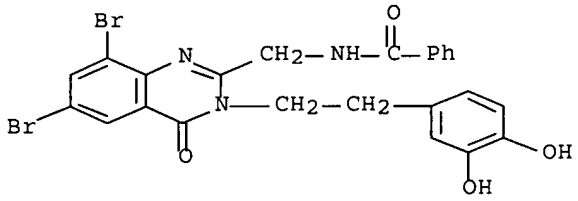
I

AB Fifteen quinazolones I (R = H; R1 = Ph, PhCH:CH, PhCONHCH2; R2 = H, Br, Cl; R3 = H, Br, Cl, iodo) were prepared by treating the corresponding benzoxazinone with H2NCH2CH2OH. I (R = H) were treated with o-(HO)2C6H4 to give I (R = 3,4-(HO)2C6H3). I (R = 3,4-(HO)2C6H3) were non toxic and had central nervous system depressant without any antitremorine, antireserpine and anorexigenic activities.

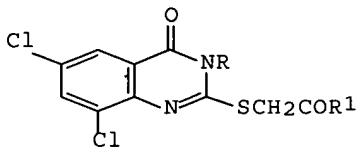
IT ***68501-53-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68501-53-1 HCAPLUS

CN Benzamide, N-[[6,8-dibromo-3-[2-(3,4-dihydroxyphenyl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 67 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:563539 HCAPLUS Full-text
DOCUMENT NUMBER: 89:163539
TITLE: Some 6:8-dichloro-S-substituted-2-mercapto-3-aryl(or alkyl)-4-quinazolones
AUTHOR(S): Bhargava, P. N.; Bahadur, Fateh
CORPORATE SOURCE: Fac. Sci., Banaras Hindu Univ., Varanasi, India
SOURCE: Journal of the Indian Chemical Society (1978), 55(3), 293-5
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

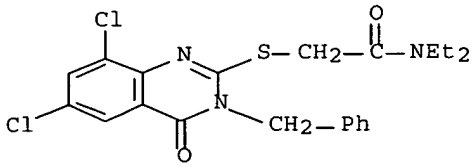


I

AB The title compds. I (R = Ph, p-tolyl, m-ClC6H4, Et, R1 = PhBzN) were prepared in 50-70% yields by amidation of the corresponding 2-mercaptoquinazolone with ClCH2CONBzPh. Analogously obtained were 40-60% I (R = o-tolyl, m-ClC6H4, o-MeOC6H4, p-EtOC6H4, Et, Bu, PhCH2, R1 = NEt2) from ClCH2CONEt2.

IT ***67867-61-2P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

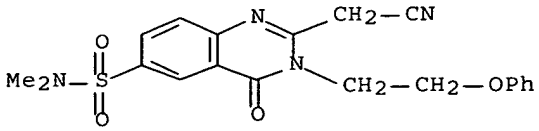
RN 57867-61-2 HCAPLUS
CN Acetamide, 2-[[6,8-dichloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N,N-diethyl- (9CI) (CA INDEX NAME)



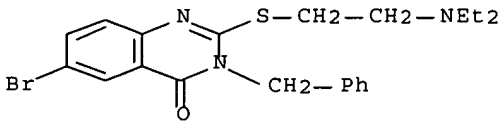
L28 ANSWER 68 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:446733 HCAPLUS Full-text
DOCUMENT NUMBER: 85:46733
TITLE: 2-Cyanomethyl-4(3R)-quinazolinones
INVENTOR(S): Enomoto, Shigeharu; Sato, Katsunobu; Sugihara, Mikio
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Tokkyo Koho, 14 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50033076	B	19751027	JP 1970-114518	19701219
PRIORITY APPLN. INFO.:			JP 1970-114518 A	19701219

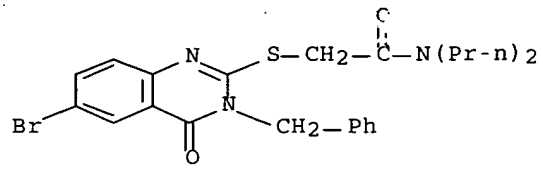
GI For diagram(s), see printed CA Issue.
AB I (R = alkyl, Ph, A = benzo or naphtho) (II) were prepared by alkylating (or phenylating I (R = H; A as above), by treating III (A as above) with NCCH2CONHR (R = alkyl, Ph), and by cyclizing IV (R and A as above) with NCCH2COR1 R1 = OH, alkoxy, phenoxy, NH2). Thus, 18.5 g 2-cyanomethyl-4(3H)-quinazoline was treated with K2CO3, Me cellosolve, and 22.3 g p-MeC6H4SO3Me 1 hr at 90°, 2 hr up to 110°, and 2 hr at 110° to give 18 g 3-Me derivative Among 60 I similarly prepared were (A = benzo, R = CH2CH2OMe, CH2CH=CH2, benzyl, CH2CH(OH)CH2OMe).
IT *59791-26-3P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59791-26-3 HCAPLUS
CN 6-Quinazolinesulfonamide, 2-(cyanomethyl)-3,4-dihydro-N,N-dimethyl-4-oxo-3-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 69 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:59359 HCAPLUS Full-text
DOCUMENT NUMBER: 84:59359
TITLE: Quinazolones derivatives
AUTHOR(S): Shyam, Radhey; Tiwari, I. C.
CORPORATE SOURCE: Fac. Sci., Banaras Hindu Univ., Banaras, India
SOURCE: Current Science (1975), 44(16), 572-4
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 84:59359
GI For diagram(s), see printed CA Issue.
AB Fifteen quinazolones (I; R = Et2NCH2CH2, EtO2CCH2; R1 = Ph, substituted phenyl, PhCH2) were prepared by reaction of I (R = H, R1 as before) with an equivalent amount of Et2NCH2CH2Cl or ClCH2CO2Et in alc. NaOH solution at room temperature
IT *58126-06-0P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 58126-06-0 HCAPLUS
CN 4(3H)-Quinazolinone, 6-bromo-2-[[2-(diethylamino)ethyl]thio]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



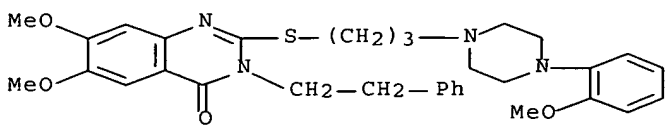
L28 ANSWER 70 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:43326 HCAPLUS Full-text
DOCUMENT NUMBER: 82:43326
TITLE: Synthesis of 4(3H)-quinazolone derivatives
AUTHOR(S): Bhargava, P. N.; Shyam, Radhey
CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Varnasi, India
SOURCE: Indian Journal of Chemistry (1974), 12(7), 779-80
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 82:43326
GI For diagram(s), see printed CA Issue.
AB Quinazolones (I, R = Ph, substituted Ph; R1 = Pr, Bu were prepared by the reaction of 6-bromo-2-thio-3-aryl-4(3H)-quinazolones with N,N-dipropyl(or dibutyl)-2-chloroacetamides in the presence of 10% ethanolic NaOH at room temperature The compds. possess no remarkable pharmacol. or microbiol. activities.
IT *54722-26-8P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 54722-26-8 HCAPLUS
CN Acetamide, 2-[[6-bromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N,N-dipropyl- (9CI) (CA INDEX NAME)



L28 ANSWER 71 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1973:478841 HCAPLUS Full-text
DOCUMENT NUMBER: 79:78841
TITLE: Basically substituted 4-pyrimidinone derivatives
INVENTOR(S): Amschler, Hermann; Krastinat, Walter
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: Ger. Offen., 99 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

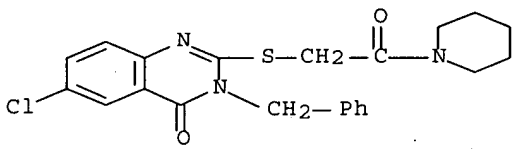
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2258561	A1	19730620	DE 1972-2258561	19721130
FR 2162106	A1	19730713	FR 1972-42607	19721130
HU 164196	B	19740128	HU 1972-BI460	19721130
DD 106646	A5	19740620	DD 1972-167200	19721130
NL 7216309	A	19730605	NL 1972-16309	19721201
ZA 7208536	A	19730926	ZA 1972-8536	19721201
JP 48062774	A	19730901	JP 1972-121143	19721202

PRIORITY APPLN. INFO.: LU 1971-64387 A 19711202
GI For diagram(s), see printed CA Issue.
AB Antihypertensive pyrimidinones such as I (R = H, Ph; R1 = H, 2-OMe, 3-Me; X = O, S; n = 2-4), II, and III (67 compds.) were prepared Thus I (R = Ph, R1 = 2-OMe, X = O, n = 3) was obtained in 72% yield by treating 2-chloro-3-phenyl-6,7-dimethoxy-4(3H)quinazolone with 1-(3-aminopropyl)-4- (2-methoxyphenyl)piperazine.
IT *43091-81-2P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 43091-81-2 HCAPLUS
CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]thio]-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 72 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1972:448388 HCAPLUS Full-text

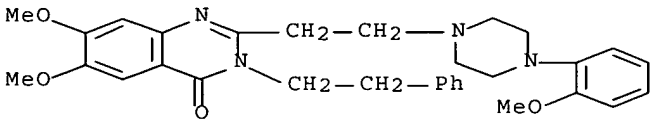
DOCUMENT NUMBER: 77:48388
TITLE: Thioquinazolinones
AUTHOR(S): Bhargava, P. N.; Choubey, V. N.
CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Varanasi, India
SOURCE: Indian Journal of Applied Chemistry (1971), 34(3-4), 113-17
CODEN: IJACAN; ISSN: 0019-5065
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 6-Chloro-quinazolinones [I; R = Ph, substituted phenyl, alkyl, PhCH2R1 = o-O2NC6H4CH2, Me2CH(CH2)2, EtNCOCH2 (piperidinocarbonyl)methyl] were prepared by condensation of the 6-chloro-2-mercaptoquinazolinones with R1Cl in NaOH-EtOH. I had no antimalarial activity.
IT *37465-54-6P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37465-54-6 HCAPLUS
CN Piperidine, 1-[[[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]acetyl]- (9CI) (CA INDEX NAME)



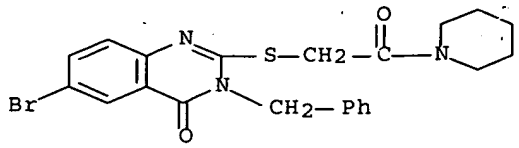
L28 ANSWER 73 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1972:85842 HCAPLUS Full-text
DOCUMENT NUMBER: 76:85842
TITLE: Pharmacologically active piperazinylalkyl 4-quinazolinone derivatives
INVENTOR(S): Amschler, Hermann; Klemm, Kurt; Schoetensack, Wolfgang
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2027645	A	19711209	DE 1970-2027645	19700605
US 3984555	A	19761005	US 1971-148100	19710528
AT 317899	B	19740925	AT 1973-2442	19710601
AT 318615	B	19741111	AT 1971-4705	19710601
AT 318628	B	19741111	AT 1973-2441	19710601
CH 557829	A	19750115	CH 1971-8020	19710602
CH 558374	A	19750131	CH 1974-4500	19710602
CH 569732	A5	19751128	CH 1974-4501	19710602
GB 1331522	A	19730926	GB 1971-18803	19710603
CA 951319	A1	19740716	CA 1971-114709	19710603
BE 768137	A1	19711206	BE 1971-104283	19710604

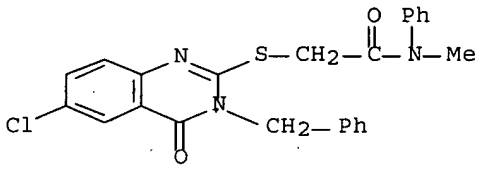
NL 7107695 A 19711207 NL 1971-7695 19710604
FR 2100726 A5 19720324 FR 1971-20368 19710604
FR 2100726 B1 19751010
PRIORITY APPLN. INFO.: DE 1970-2027645 A 19700605
GI For diagram(s), see printed CA Issue.
AB The 33 piperazinoalkylquinazol-inones I [R = R1 = H, OMe, R = H, R1 = Me; R2 = H, Me, PhCH2CH2, Me2CHCH2CH2, cyclohexyl; A = CH2, (CH2)2, (CH2)3, CHEt, CH:CHCH2; R3 = H, 2-, 3-, or 4-Me, OMe, Cl, F, 3-CF3, 2-OEt] have hypotensive, antihistaminic, and analgesic properties, but only slight sedative and no anticonvulsive effect. They are prepared by treating a suitably substituted 2-carbamoylanilide with a 1-arylpiperazine and cyclizing. Thus, 14.2 g 2,4,5-H2NOC(MeO)2C6H2NHCOCH2CH2Br in MeCN was treated with 7 g 1-phenylpiperazine and 7.8 g dicyclohexylamine. The product was treated with 2.24 g KOH in MeOCH2CH2OH to give 78% I [R = R1 = OMe, R2 = R3 = H, A = (CH2)2]. The preparation of 17 intermediates was also given.
IT *35265-53-3*
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)
RN 35265-53-3 HCAPLUS
CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 74 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1970:55393 HCAPLUS Full-text
DOCUMENT NUMBER: 72:55393
TITLE: Synthesis of mercaptoquinazolinone derivatives as potential antimalarials
AUTHOR(S): Lakhan, Ram
CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India
SOURCE: Chemical & Pharmaceutical Bulletin (1969), 17(11), 2357-61
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Approx. 61 title derivs. I are prepared from I (R = alkyl or aryl, R1 = H) and R1X (R1 = Pr, iso-Pr, amyl, isoamyl, etc., X = Br or Cl). Hydrolysis of I (R = Me, R1 = Pr) with 6N HCl gave 3-methyl-2,4-(1H,3H)- quinazolinedione.
IT *25467-38-3P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 25467-38-3 HCAPLUS
CN Piperidine, 1-[[(3-benzyl-6-bromo-3,4-dihydro-4-oxo-2-quinazolinyl)thio]acetyl]- (8CI) (CA INDEX NAME)



L28 ANSWER 75 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1970:31739 HCAPLUS Full-text
DOCUMENT NUMBER: 72:31739
TITLE: Synthesis of quinazolone derivatives
AUTHOR(S): Choubey, V. N.
CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India
SOURCE: Agricultural and Biological Chemistry (1969), 33(8), 1213-16
CODEN: ABCHA6; ISSN: 0002-1369
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 6-Chloro-2-(N,N-disubstituted-carbamoylmethylthio)-3-aryl(or alkyl)-4(3H)-quinazolones and 6-chloro-2-(p-xylylthio)-3-aryl(or alkyl)-4(3H)-qui nazolones were prepared and unsuccessfully tested for microbiol. activities.
IT *24677-31-4P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24677-31-4 HCAPLUS
CN Acetanilide, 2-[(3-benzyl-6-chloro-3,4-dihydro-4-oxo-2-quinazolinyl)thio]-N-methyl- (8CI) (CA INDEX NAME)



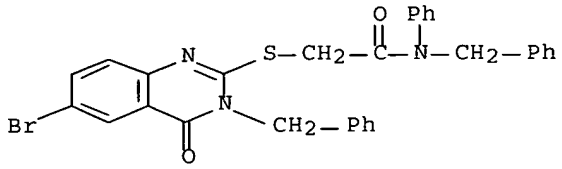
L28 ANSWER 76 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1969:470559 HCAPLUS Full-text
DOCUMENT NUMBER: 71:70559
TITLE: 6-Bromo-2-mercapto-3-substituted 4(3H)-quinazolinones
AUTHOR(S): Bhargava, Prithwi N.; Lakhan, R.
CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India
SOURCE: Bulletin of the Chemical Society of Japan (1969), 42(5), 1444-6
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 71:70559
GI For diagram(s), see printed CA Issue.
AB Alkylation of 6-bromo-2-mercapto-3-aryl (or alkyl) 4-(3H)- quinazolinones was effected using ClCH2CONR1R2 in EtOH/NaOH to give the following I (R1 = R2 = Et) (R, m.p., and % yield given): Ph, 201°, 80; o-MeC6H4, 169°, 57; m-MeC6H4

224°, 43; p-MeC6H4, 192°, 85; m-ClC6H4, 157°, 45; p-ClC6H4, 181°, 72; o-MeOC6H4, 163, 49; p-MeOC6H4, 171°, 75; p-EtOC6H4, 165°, 82; Me, 120°, 40; Et, 135°, 50; PhCH2, 143, 78. Also the following I (R1 = Me, R2 = Ph) (same data given) Ph, 242°, 50; o-MeC6H4, 209°, 70; m-MeC6H4, 204°, 78; p-MeC6H4, 188°, 65; p-ClC6H4, 237°, 52; o-MeOC6H4, 214°, 55; p-MeOC6H4, 106°, 47; p-EtOC6H4, 234°, 50; Me, 115° 30; Et, 128°, 68; PhCH2, 142°, 60. Also the following I (R1 = Et, R2 = Ph) (same data given) Ph, 183°, 62; o-MeC6H4, 192°, 85; m-MeC6H4, 206°, 90; p-MeC6H4, 200°, 87; m-ClC6H4, 232°, 66; p-ClC6H4, 116°, 43; o-MeOC6H4, 220°, 55; p-MeOC6H4, 160°, 50; Me, 146°, 52; Et, 145°, 58; PhCH2, 173°, 55. Also the following I (R1 = PhCH2, R2 = Ph) (same data given) Ph, 203°, 51; o-MeC6H4, 215°, 65; m-MeC6H4, 195°, 48; p-MeC6H4, 244°, 60; m-ClC6H4, 206°, 62; p-ClC6H4, 205°, 55; o-MeOC6H4, 237°, 76; p-MeOC6H4, 235°, 45; p-EtOC6H4, 214°, 57; Me, 187°, 35; Et, 190°, 50; PhCH2, 185°, 53. Treatment of the title compds. with ClCH2CO2Na gave the desired I (NR1R2 = OH) provided that acidification was carried out with 5% HCl. I (R = Ph, NR1R2 = OH) m 190° was obtained in 50% yield. With 12N HCl, hydrolysis gave the following II (R, m.p., and % yield given): Ph, 314°, 68; o-MeC6H4, 259°, 50; m-MeC6H4, 321°, 70; p-MeC6H4, 230°, 75; m-ClC6H4, 233°, 68; p-ClC6H4, 216°, 55; o-MeOC6H4, 310°, 60; p-MeOC6H4, 288°, 62; p-EtOC6H4, 290°, 90; Me, 291°, 55; PhCH2, 264°, 65.

IT *23965-13-1P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23965-13-1 HCAPLUS

CN Acetanilide, N-benzyl-2-[(3-benzyl-6-bromo-3,4-dihydro-4-oxo-2-quinazolinyl)thio]- (8CI) (CA INDEX NAME)



L28 ANSWER 77 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1968:506659 HCAPLUS Full-text

DOCUMENT NUMBER: 69:106659

TITLE: Synthesis of 6,8-dibromo-3-substituted 2-[N,N-dialkyl (or N-piperidino)carboxamidomethylthio]-4(3H)-quinazolinones as antimalarials

AUTHOR(S): Bhargava, P. N.; Chaurasia, M. R.

CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India

SOURCE: Journal of Medicinal Chemistry (1968), 11(4), 908-9
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

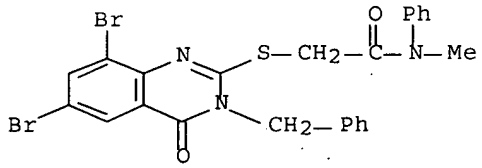
AB 6,8-Dibromo-3-substituted 2-(N,N-dialkyl-(or piperidino-)carboxamidomethylthio)-4(3H)-quinazolinones (I) were prepared and tested as antimalarials. N-Chloroacetylpiperidine (2 ml.) was dissolved in EtOH and added to 4.5 g. 6,8-dibromo-2-thio-3-phenyl-2,4(1H,3H)-quinazolinedione in EtOH-NaOH solution, the mixture stirred at 23-5° 2 hrs. and cooled to 0°, and the product filtered off and washed with H2O and EtOH to give 60% I [R = Ph, (R1R2N =) piperidino], m. 240° (EtOH-Me2CO). Similarly prepared I were (R1 =

Me; R2 = Ph; R, m.p., and % yield given): Ph, 87°, 58; o-MeC6H4, 246°, 40; m-MeC6H4, 83°, 50; p-MeC6H4, 98°, 55; p-ClC6H4, 95°, 50; p-MeOC6H4, 104°, 55; p-EtOC6H4, 218°, 60; Bu, 200°, 35; PhCH2, 221°, 53. Similarly prepared were I (R1 = Et, R2 = Ph; R, m.p., and % yield given): Ph, 106°, 65; o-MeC6H4, 105°, 50; m-MeC6H4, 295°, 40; p-MeC6H4, 121°, 75; m-ClC6H4, 248°, 45; p-ClC6H4, 110°, 65; p-MeOC6H4, 114°, 55; p-EtOC6H4, 104°, 70; PhCH2, 258°, 35. Similarly were prepared I (R1 = benzyl, R2 = Ph; R, m.p., and % yield given): Ph, 113°, 70; o-MeC6H4, 245°, 45; m-MeC6H4, 84°, 50; p-MeC6H4, 88°, 60; m-ClC6H4, 103°, 65; p-ClC6H4, 96°, 55; p-MeOC6H4, 93°, 65; p-EtOC6H4, 111°, 75; Bu, 219°, 35; PhCH2, 238°, 40. Similarly were prepared I (R1 = R2 = Et; R, m.p. and % yield given): Ph, 187°, 60; o-MeC6H4, 162°, 50; m-MeC6H4, 275°, 30; p-MeC6H4, 188°, 55; m-ClC6H4, 270°, 40; p-ClC6H4, 295°, 35; p-MeOC6H4, >320°, 45; p-EtOC6H4, 235°, 35; Me, 305°, 25; Et, >320°, 30; Bu, 285°, 45; PhCH2, 248°, 25. Similarly were prepared I [(R1R2 =) piperidino; R, m.p. and % yield given]: o-MeC6H4, 238°, 35; m-MeC6H4, 270°, 40; p-MeC6H4, 250°, 45; m-ClC6H4, 268°, 50; p-ClC6H4, 260°, 55; p-MeOC6H4, 116°, 65; p-EtOC6H4, 290°, 50; Me, 280°, 30; Bu, 305°, 25; PhCH2, 275°, 35. 6,8-Dibromo-3-benzyl-2-carboxymethylthio-4(3H)- quinazolinone, m. 237°, 60% yield, and 6,8-dibromo-3-phenyl-1-ethyl- 2-thio-2,4(1H,3H)-quinazolinedione, m. 242°, 60% yield, were also prepared Tests on chicks infected with Plasmodium gallinaceum showed no antimalarial activity.

IT *20551-94-4P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 20551-94-4 HCAPLUS

CN Acetanilide, 2-[(3-benzyl-6,8-dibromo-3,4-dihydro-4-oxo-2-quinazolinyl)thio]-N-methyl- (8CI) (CA INDEX NAME)



L28 ANSWER 78 OF 78 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1965:91000 HCAPLUS Full-text
DOCUMENT NUMBER: 62:91000
ORIGINAL REFERENCE NO.: 62:16269a-g
TITLE: 4(3H)-Quinazolinones
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: 18 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6405448		19641119	NL 1964-5448	19640515
PRIORITY APPLN. INFO.:			DE	19630518

GI For diagram(s), see printed CA Issue.

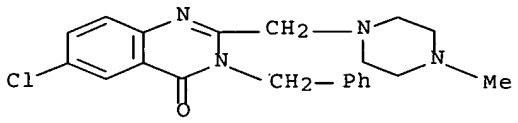
AB I, analgesics and sedatives, are readily prepared by treatment of an o-chloroalkylamidobenzamide with a secondary amine at high temps. and by the

pyrrolic or alkaline condensation of an o-aminoalkylamidobenzamide. Accordingly, I [n = 1 R1 = Me, (R2R3 =) (CH2)2NMe(CH2)2, R4 = 6-Cl] (II), m. 158.5-9.5° (Me2CO), was obtained by heating at 225-30° for 30 min. N-methyl-5-chloro-2-(N-methylpiperazinoacetamido)benzamide, prepared by the treatment of N-methyl-5-chloro-2-chloroacetamidobenzamide with an excess of N-methylpiperazine. II.2HCl, decompose 260° , was prepared by the addition of alc. HCl to II in MeOH. I(n = 1, R1 = R2 = R3 = Me, R4 = 6-Cl), m. 91.5-5.5° (HCl salt decompose 257°), was obtained by refluxing 7 g. N-methyl-5-chloro-2-dimethylaminoacetamidobenzamide in 52 mL. EtOH after the addition of 26 mL. 2N aqueous NaOH for 20 min. Similarly, the tabulated I were also prepared

IT ***2857-08-1P***, 4(3H)-Quinazolinone, 3-benzyl-6-chloro-2-[(4-methyl-1-piperazinyl)methyl]-
RL: PREP (Preparation)
(preparation of)

RN 2857-08-1 HCAPLUS

CN 4(3H)-Quinazolinone, 6-chloro-2-[(4-methyl-1-piperazinyl)methyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



HISTORY

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